

=> d his

(FILE 'HOME' ENTERED AT 13:48:21 ON 01 FEB 2005)

FILE 'REGISTRY' ENTERED AT 13:48:28 ON 01 FEB 2005

L1 STRUCTURE UPLOADED
L2 4 S L1
L3 0 S L1 CSS
L4 3 S L1 CSS FUL
L5 SCREEN 1929 OR 2021 OR 2016 OR 2004 OR 1994
L6 STRUCTURE UPLOADED
L7 QUE L6 NOT L5
L8 1 S L7 CSS
L9 14 S CSS L7 FUL

L10 FILE 'CAPLUS' ENTERED AT 13:52:29 ON 01 FEB 2005
271 S L9

FILE 'REGISTRY' ENTERED AT 13:52:53 ON 01 FEB 2005

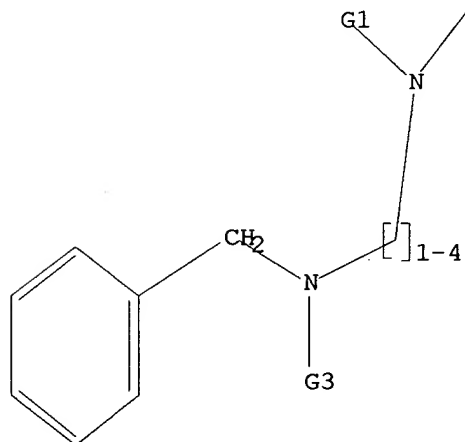
L11 FILE 'USPATFULL' ENTERED AT 13:55:54 ON 01 FEB 2005
25 S L9

L12 FILE 'CAOLD' ENTERED AT 13:56:56 ON 01 FEB 2005
13 S L9

=> d 16

L6 HAS NO ANSWERS

L6 STR



G1 n-Pr, i-Pr, n-Bu, i-Bu, s-Bu, t-Bu, Me, Et

G2 H, Me, Et, n-Pr, i-Pr, n-Bu, i-Bu, s-Bu, t-Bu

G3 H, Ph

Structure attributes must be viewed using STN Express query preparation.

=> d bib hitstr 1-13

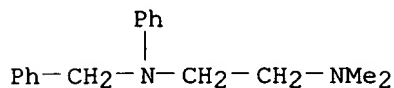
L12 ANSWER 1 OF 13 CAOLD COPYRIGHT 2005 ACS on STN

AN CA65:6141d CAOLD

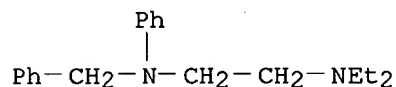
TI interference of pyrrolidinecarboxylic acid with the activity of some antihistaminics

AU Di Maggio, Gaetano; Ciaceri, G.

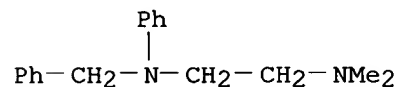
IT **961-71-7**
 RN 961-71-7 CAOLD
 CN 1,2-Ethanediamine, N,N-dimethyl-N'-phenyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)



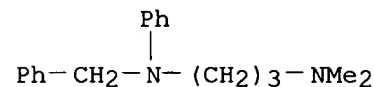
L12 ANSWER 2 OF 13 CAOLD COPYRIGHT 2005 ACS on STN
 AN CA64:527b CAOLD
 TI procedures for systematic analysis of medicinals by paper and thin-layer chromatography
 AU Macek, Karel; Vecerkova, J.
 IT **10019-18-8**
 RN 10019-18-8 CAOLD
 CN Ethylenediamine, N-benzyl-N',N'-diethyl-N-phenyl- (6CI, 7CI, 8CI) (CA INDEX NAME)



L12 ANSWER 3 OF 13 CAOLD COPYRIGHT 2005 ACS on STN
 AN CA63:10532c CAOLD
 TI comparison of vasomotor actions of tyramine, O-methyltyramine, and metatyramine
 AU Cession-Fossion, A.
 IT **961-71-7**
 RN 961-71-7 CAOLD
 CN 1,2-Ethanediamine, N,N-dimethyl-N'-phenyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

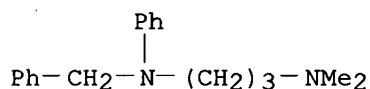


L12 ANSWER 4 OF 13 CAOLD COPYRIGHT 2005 ACS on STN
 AN CA59:13847f CAOLD
 TI syntheses of analgesics - (II) syntheses and pharmacol. action of N-(dimethylaminoalkyl)anilines
 AU Kigasawa, Kazuo; Sugahara, H.; Hiiragi, M.; Fukawa, K.
 IT **93947-34-3**
 RN 93947-34-3 CAOLD
 CN 1,3-Propanediamine, N-benzyl-N',N'-dimethyl-N-phenyl- (7CI) (CA INDEX NAME)

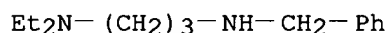


L12 ANSWER 5 OF 13 CAOLD COPYRIGHT 2005 ACS on STN
 AN CA59:11329h CAOLD
 TI purification of 2,6-toluenediamine
 PA General Aniline & Film Corp.
 DT Patent
 PATENT NO. KIND DATE

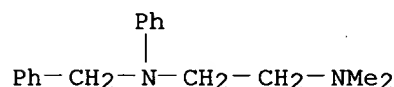
 PI GB 926810
 DE 1200830
 IT **93947-34-3**
 RN 93947-34-3 CAOLD
 CN 1,3-Propanediamine, N-benzyl-N',N'-dimethyl-N-phenyl- (7CI) (CA INDEX NAME)



L12 ANSWER 6 OF 13 CAOLD COPYRIGHT 2005 ACS on STN
 AN CA59:6289f CAOLD
 TI preparation of esters and basic amides of some acids which act as plant-growth regulators - (III) amides
 AU Thuillier, Germaine; Dumont, J. M.; Vilar, A.; Rumpf, P.
 IT **92377-05-4**
 RN 92377-05-4 CAOLD
 CN 1,3-Propanediamine, N,N-diethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 7 OF 13 CAOLD COPYRIGHT 2005 ACS on STN
 AN CA56:7941e CAOLD
 TI action of antihistaminics and of acetylcholine on the isolated ventricle of Helix pomatia
 AU Megemont, Ch.; Megemont, I.; Bastide, P.; Dastugue, G.
 IT **961-71-7**
 RN 961-71-7 CAOLD
 CN 1,2-Ethanediamine, N,N-dimethyl-N'-phenyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 8 OF 13 CAOLD COPYRIGHT 2005 ACS on STN
 AN CA55:19936i CAOLD
 TI synthesis of N,N-dialkyl-N'-[4-quinazolyl (or 6-methyl-4-pyrimidyl or 4-methyl-2-pyrimidyl)]ethylenediamines
 AU Chapman, N. B.; Taylor, H.
 IT **103-55-9 15855-37-5**
 RN 103-55-9 CAOLD
 CN 1,2-Ethanediamine, N,N-dimethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

Me₂N-CH₂-CH₂-NH-CH₂-Ph

RN 15855-37-5 CAOLD
CN 1,2-Ethanediamine, N,N-diethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

Et₂N-CH₂-CH₂-NH-CH₂-Ph

L12 ANSWER 9 OF 13 CAOLD COPYRIGHT 2005 ACS on STN
AN CA55:17634a CAOLD
TI N-mono- and N,N-disubstituted benzylamine derivs.
AU Larizza, Angelo; Brancaccio, G.
IT **15855-37-5**
RN 15855-37-5 CAOLD
CN 1,2-Ethanediamine, N,N-diethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

Et₂N-CH₂-CH₂-NH-CH₂-Ph

L12 ANSWER 10 OF 13 CAOLD COPYRIGHT 2005 ACS on STN
AN CA54:8680b CAOLD
TI aminoacyl and aminoalkyl derivs. of alkarylamines
AU Kochetkov, N. K.; Dudykina, N. V.
IT **15855-37-5**
RN 15855-37-5 CAOLD
CN 1,2-Ethanediamine, N,N-diethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

Et₂N-CH₂-CH₂-NH-CH₂-Ph

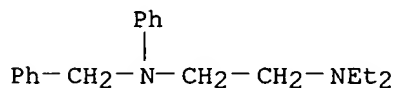
L12 ANSWER 11 OF 13 CAOLD COPYRIGHT 2005 ACS on STN
AN CA53:1146a CAOLD
TI substituted polyamines
AU Fancher, Otis E.
PA Miles Laboratories, Inc.
DT Patent
PATENT NO. KIND DATE

PI US 2851466 1958
IT **15855-37-5**
RN 15855-37-5 CAOLD
CN 1,2-Ethanediamine, N,N-diethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

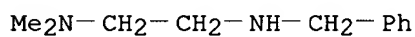
Et₂N-CH₂-CH₂-NH-CH₂-Ph

L12 ANSWER 12 OF 13 CAOLD COPYRIGHT 2005 ACS on STN
AN CA52:15830b CAOLD
TI isolation, characterization, and determination of basic organic active substances of
various medicinals with the help of disulfimides - (I)
AU Runge, Franz; Engelbrecht, H. J.; Franke, H.

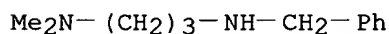
IT 10019-18-8
RN 10019-18-8 CAOLD
CN Ethylenediamine, N-benzyl-N',N'-diethyl-N-phenyl- (6CI, 7CI, 8CI) (CA INDEX NAME)



L12 ANSWER 13 OF 13 CAOLD COPYRIGHT 2005 ACS on STN
AN CA51:8287d CAOLD
TI natural and synthetic odorous substances with stimulatory action on rats and mice
AU Reiff, M.
IT 103-55-9 32857-22-0
RN 103-55-9 CAOLD
CN 1,2-Ethanediamine, N,N-dimethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

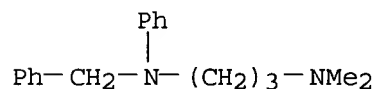


RN 32857-22-0 CAOLD
CN 1,3-Propanediamine, N,N-dimethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)



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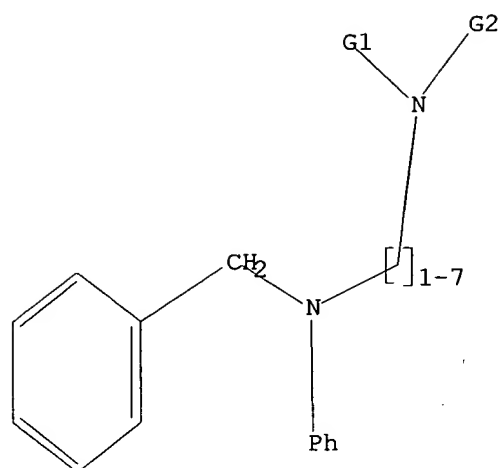
AN 40:37436 CA
 OREF 40:7241c-e
 TI Steric analogies and biological activities. X. Antagonists of histamine
 AU Carrara, G.; D'Amato, V.; Pagani, R.
 CS Lab. S.A. Lepetit, Milan, Italy
 SO Chimica e l'Industria (Milan, Italy) (1946), 28, 9-11
 CODEN: CINMAB; ISSN: 0009-4315
 DT Journal
 LA Unavailable
 AB The following imidazole derivs. were prepared (to compare their activity with that of histamine) by the reaction of a diketone with an aldehyde in the presence of NH₂: 4(5)-methylphenylimidazole m. 185° (HCl derivative m. 192°), 2-Me derivative m. 224-7° (HCl derivative m. 235-7°), 2-Et derivative m. 130-5° (HCl derivative m. 200-3°), 2-Pr derivative not m. but becoming gummy (HCl derivative m. 135-40°), 2-Ph derivative m. 213-15°, 2-benzyl derivative m. 210-13° (HCl derivative m. 220-3°), 4,5-diphenylimidazole-HCl m. 227-30°, 2-Me derivative m. 234-5°, 2-Et derivative m. 215-16°, 2-Pr derivative-HCl m. 237-8°, 2-Ph derivative-HCl m. 173-5°. The biol. action of these compds., having structures analogous to that of histamine but nearly without its action, will be described later. The following dialkylaminoalkylanilines were also prepared: C₆H₅CH₂N(C₆H₅)(CH₂)₂N(CH₃)₂ b1 157-8°, nD 1.583 (HCl derivative m. 207-8°); C₆H₅CH₂N(C₆H₅)(CH₂)₃N(CH₃)₂ b2 170-5°, nD 1.603 (HCl derivative m. 121-3°); C₆H₅CH₂N(C₆H₅)(CH₂)₂N(C₆H₅)₂ b2 173-4° (HCl derivative m. 169-70°).
 IT 93947-34-3, 1,3-Propanediamine, N-benzyl-N',N'-dimethyl-N-phenyl- (preparation of)
 RN 93947-34-3 CA
 CN 1,3-Propanediamine, N-benzyl-N',N'-dimethyl-N-phenyl- (7CI) (CA INDEX NAME)



=> d 17

L7 HAS NO ANSWERS

L7 STR



G1 n-Pr, i-Pr, n-Bu, i-Bu, s-Bu, t-Bu, Me, Et

G2 H, Me, Et, n-Pr, i-Pr, n-Bu, i-Bu, s-Bu, t-Bu

Structure attributes must be viewed using STN Express query preparation.

=> s 17 css ful

FULL SEARCH INITIATED 08:23:26 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 17022 TO ITERATE

100.0% PROCESSED 17022 ITERATIONS

20 ANSWERS

SEARCH TIME: 00.00.01

L8 20 SEA CSS FUL L7

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

161.33

369.11

FILE 'CAPLUS' ENTERED AT 08:23:32 ON 01 FEB 2005

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 1 Feb 2005 VOL 142 ISS 6

FILE LAST UPDATED: 31 Jan 2005 (20050131/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l8

L9 195 L8

=> d his

(FILE 'HOME' ENTERED AT 08:13:42 ON 01 FEB 2005)

FILE 'REGISTRY' ENTERED AT 08:13:58 ON 01 FEB 2005

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 1 S L1 CSS FUL

FILE 'REGISTRY' ENTERED AT 08:15:21 ON 01 FEB 2005

SET TERMSET E#

DEL SEL Y

SEL L3 1 RN

L4 1 S E1/RN

SET TERMSET LOGIN

FILE 'CHEMCATS' ENTERED AT 08:15:25 ON 01 FEB 2005

L5 1 S L4

FILE 'BEILSTEIN' ENTERED AT 08:15:53 ON 01 FEB 2005

L6 2 S L1 CSS FUL

FILE 'REGISTRY' ENTERED AT 08:22:51 ON 01 FEB 2005

L7 STRUCTURE UPLOADED

L8 20 S L7 CSS FUL

FILE 'CAPLUS' ENTERED AT 08:23:32 ON 01 FEB 2005

L9 195 S L8

=> d his

(FILE 'HOME' ENTERED AT 08:13:42 ON 01 FEB 2005)

FILE 'REGISTRY' ENTERED AT 08:13:58 ON 01 FEB 2005

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 1 S L1 CSS FUL

FILE 'REGISTRY' ENTERED AT 08:15:21 ON 01 FEB 2005

SET TERMSET E#

DEL SEL Y

SEL L3 1 RN

L4 1 S E1/RN

SET TERMSET LOGIN

FILE 'CHEMCATS' ENTERED AT 08:15:25 ON 01 FEB 2005

L5 1 S L4

FILE 'BEILSTEIN' ENTERED AT 08:15:53 ON 01 FEB 2005

L6 2 S L1 CSS FUL

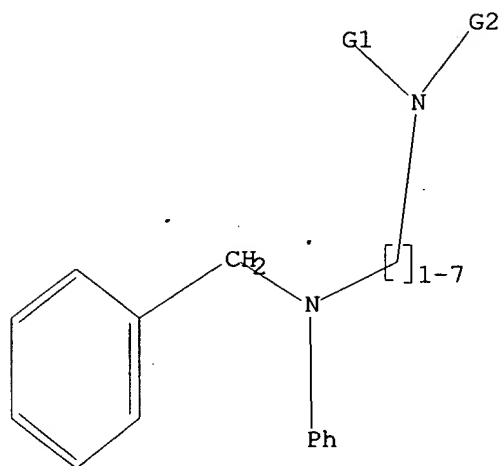
FILE 'REGISTRY' ENTERED AT 08:22:51 ON 01 FEB 2005

L7 STRUCTURE UPLOADED

L8 20 S L7 CSS FUL

FILE 'CAPLUS' ENTERED AT 08:23:32 ON 01 FEB 2005
L9 195 S L8

=> d 17
L7 HAS NO ANSWERS
L7 STR

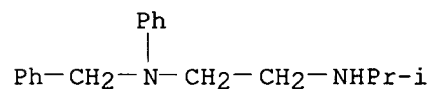


G1 n-Pr, i-Pr, n-Bu, i-Bu, s-Bu, t-Bu, Me, Et
G2 H, Me, Et, n-Pr, i-Pr, n-Bu, i-Bu, s-Bu, t-Bu

Structure attributes must be viewed using STN Express query preparation.

=> d ide bib abs 1-20 18
YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:y

L8 ANSWER 1 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN
RN 226068-22-0 REGISTRY
CN 1,2-Ethanediamine, N'-(1-methylethyl)-N-phenyl-N-(phenylmethyl)- (9CI)
(CA INDEX NAME)
FS 3D CONCORD
MF C18 H24 N2
SR CA
LC STN Files: CA, CAPLUS
DT.CA CAplus document type: Journal
RL.NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

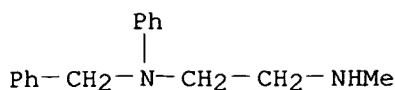
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1

AN 131:18981 CA
TI Design and Synthesis of Imidazoline Derivatives Active on Glucose Homeostasis in a Rat Model of Type II Diabetes. 2. Syntheses and Biological Activities of 1,4-Dialkyl-, 1,4-Dibenzyl, and 1-Benzyl-4-alkyl-2-(4',5'-dihydro-1'H-imidazol-2'-yl)piperazines and Isosteric Analogs of Imidazoline
AU Le Bihan, Gaeelle; Rondou, Frederic; Pele-Tounian, Agnes; Wang, Xuan; Lidy, Sandrine; Touboul, Estera; Lamouri, Aazdine; Dive, Georges; Huet, Jack; Pfeiffer, Bruno; Renard, Pierre; Guardiola-Lemaitre, Beatrice; Manechez, Dominique; Penicaud, Luc; Ktorza, Alain; Godfroid, Jean-Jacques
CS Laboratoire de Pharmacochimie Moleculaire et Systemes Membranaires, Universite Paris 7-Denis Diderot, Paris, 75251, Fr.
SO Journal of Medicinal Chemistry (1999), 42(9), 1587-1603
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB Piperazine derivs. were identified as new antidiabetic compds. Structure-activity relationship studies in a series of 1-benzyl-4-alkyl-2-(4',5'-dihydro-1'H-imidazol-2'-yl)piperazines resulted in the identification of 1-methyl-4-(2',4'-dichlorobenzyl)-2-(4',5'-dihydro-1'H-imidazol-2'-yl)piperazine, PMS 812 (S-21663), as a highly potent antidiabetic agent on a rat model of diabetes, mediated by an important increase of insulin secretion independently of $\alpha 2$ -adrenoceptor blockage. These studies were extended to find addnl. compds. in these series with improved properties. In such a way, substitution of both piperazine N atoms was first optimized by using various alkyl, branched or not, and benzyl groups. Second, some modifications of the imidazoline ring and its replacement by isosteric heterocycles were carried out, proceeding from PMS 812, to evaluate their influence on the antidiabetic activity. The importance of the distance between the imidazoline ring and the piperazine skeleton was studied third. Finally, the influence of the N-benzyl moiety was also analyzed compared to a direct N-Ph substitution. The pharmacol. evaluation was performed in vivo using glucose tolerance tests on a rat model of type II diabetes. The most active compds. were 1,4-diisopropyl-2-(4',5'-dihydro-1'H-imidazol-2'-yl)piperazine, PMS 847 (S-22068), and 1,4-diisobutyl-2-(4',5'-dihydro-1'H-imidazol-2'-yl)piperazine, PMS 889 (S-22575), which strongly improved glucose tolerance without any side event or hypoglycemic effect. More particularly, PMS 847 proved to be as potent after po (100 μ mol/kg) as after i.p. administration and appears as a good candidate for clin. investigations.

RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN
RN 143745-58-8 REGISTRY
CN 1,2-Ethanediamine, N'-methyl-N-phenyl-N-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)
MF C16 H20 N2 . Cl H
SR CA
LC STN Files: BEILSTEIN*, CA, CAPLUS
(*File contains numerically searchable property data)
DT.CA Caplus document type: Journal
RL.NP Roles from non-patents: PREP (Preparation)
CRN (66711-48-6)

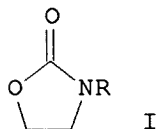


● HCl

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1

AN 117:212072 CA
TI The use of 2-oxazolidinones as latent aziridine equivalents. 2.
Aminoethylation of aromatic amines, phenols, and thiophenols
AU Poindexter, Graham S.; Owens, Donald A.; Dolan, Peter L.; Woo, Edmund
CS Bristol-Myers Squibb Pharm. Res. Inst., Wallingford, CT, 04692-7660, USA
SO Journal of Organic Chemistry (1992), 57(23), 6257-65
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
GI

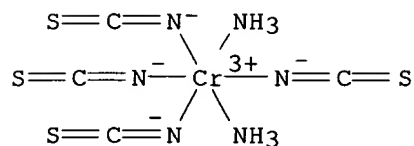


AB The utility of 2-oxazolidinones I (R = H, Me, PhCH₂, Ph, 4,4-di-Me, Et), as latent, carboxylated aziridine functionalities was examined. Reactions of I with aromatic amine salts, phenol, or thiophenols at elevated temps. (>130°C) gave aminoethylated adducts. The aminoethylation occurred with concomitant loss of carbon dioxide to furnish variously substituted N-aryl-1,2-ethanediamines, 1-(2-phenoxyethyl)-2-imidazolidinone, or 2-(arylthio)ethanamines on reaction of I with aromatic amine salts, phenol, and thiophenols, resp. 1-(2-Phenoxyethyl)-2-imidazolidinone is believed to be a secondary reaction product resulting from the condensation of the initially formed 2-phenoxyethanamine with starting I (R = H). The aminoethylation reaction did not proceed with aliphatic amine hydrochlorides or alkyl mercaptans. Preliminary mechanistic pathways for these ring openings were also investigated employing a specific, C-5 deuterium-labeled oxazolidinone I (R = Me-d₂) (II). Ring-opening expts. of II with N-methylaniline hydrochloride suggest reaction can occur through either a dioxazolinium and/or an aziridinium intermediate. In contrast, reaction of II with thiophenol suggests ring-opening proceeds only via the dioxazolinium pathway.

L8 ANSWER 3 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN
RN 122704-37-4 REGISTRY
CN Ethylenediamine, N-benzyl-N',N'-dimethyl-N-phenyl-, direineckate (6CI)
(CA INDEX NAME)
MF C17 H22 N2 . 2 C4 H6 Cr N6 S4 . 2 H
SR CAOLD
LC STN Files: CAOLD

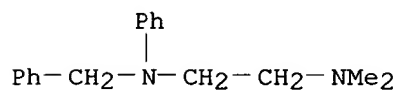
CM 1

CRN 16925-04-5 (16248-93-4)
CMF C4 H6 Cr N6 S4 . H
CCI CCS



CM 2

CRN 961-71-7
CMF C17 H22 N2

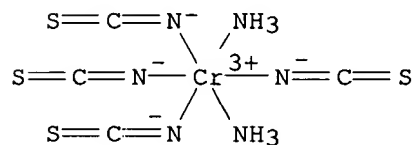


1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L8 ANSWER 4 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN
RN 115050-80-1 REGISTRY
CN Ethylenediamine, N-benzyl-N',N'-dimethyl-N-phenyl-, reineckate (6CI) (CA INDEX NAME)
MF C17 H22 N2 . C4 H6 Cr N6 S4 . H
SR CAOLD
LC STN Files: CAOLD

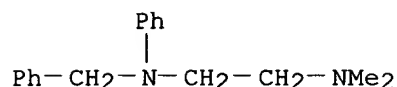
CM 1

CRN 16925-04-5 (16248-93-4)
CMF C4 H6 Cr N6 S4 . H
CCI CCS



CM 2

CRN 961-71-7
CMF C17 H22 N2

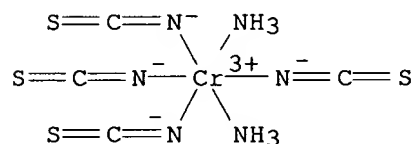


1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L8 ANSWER 5 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN
RN 107305-66-8 REGISTRY
CN Ethylenediamine, N-benzyl-N',N'-diethyl-N-phenyl-, reineckate (7CI) (CA INDEX NAME)
MF C19 H26 N2 . C4 H6 Cr N6 S4 . H
SR CAOLD
LC STN Files: CAOLD

CM 1

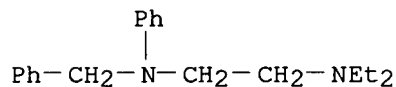
CRN 16925-04-5 (16248-93-4)
CMF C4 H6 Cr N6 S4 . H
CCI CCS



● H⁺

CM 2

CRN 10019-18-8
CMF C19 H26 N2



1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

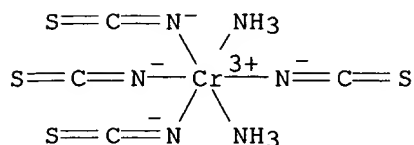
L8 ANSWER 6 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN
RN 104998-36-9 REGISTRY
CN Ethylenediamine, N-benzyl-N',N'-diethyl-N-phenyl-, direineckate (7CI) (CA INDEX NAME)
MF C19 H26 N2 . 2 C4 H6 Cr N6 S4 . 2 H
SR CAOLD
LC STN Files: CA, CAOLD, CAPLUS
DT.CA CAplus document type: Journal
RL.NP Roles from non-patents: NORL (No role in record)

CM 1

CRN 16925-04-5 (16248-93-4)

CMF C4 H6 Cr N6 S4 . H

CCI CCS

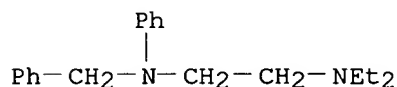


● H⁺

CM 2

CRN 10019-18-8

CMF C19 H26 N2



1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1

AN 58:72693 CA
TI Precipitation of alkaloids and synthetic bases with Reineckate. IV.
Antihistamines
AU Poethke, W.; Gebert, P.; Mueller, E.
CS Univ. Jena, Germany
SO Pharmazeutische Zentralhalle fuer Deutschland (1962), 101, 607-15
CODEN: PHZEAD; ISSN: 0369-9773
DT Journal
LA Unavailable
AB Conditions are described for the precipitation of reineckates of
diethylaminoethylphenothiazine-HCl, dimethylaminopropylchlorophenothiazine-
HCl, dimethylaminopropylphenothiazine-HCl, diethylaminopropylphenothiazine-
HCl, diethylbenzylphenylethylenediamine-di-HCl, and
dimethylbenzylpyridylethylenediamine. All bases form mono- as well as
direineckates.

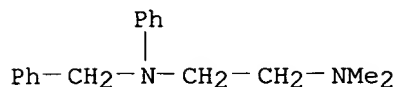
L8 ANSWER 7 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN
RN 103166-77-4 REGISTRY
CN Ethylenediamine, N-benzyl-N',N'-dimethyl-N-phenyl-, dipicrate (6CI) (CA
INDEX NAME)
MF C17 H22 N2 . 2 C6 H3 N3 O7
SR CAOLD
LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS
(*File contains numerically searchable property data)
DT.CA Caplus document type: Journal

RL.NP Roles from non-patents: NORL (No role in record)

CM 1

CRN 961-71-7

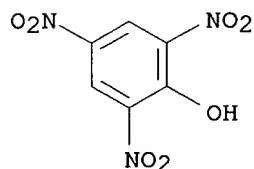
CMF C17 H22 N2



CM 2

CRN 88-89-1

CMF C6 H3 N3 O7



1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1

AN 55:89575 CA

TI Microdetermination of the molecular weight of picrates of synthetic antihistamines for their identification

AU Bruno, S.

SO Farmaco, Edizione Pratica (1960), 15, 543-6

CODEN: FRPPAO; ISSN: 0430-0912

DT Journal

LA Unavailable

AB Synthetic antihistamines were identified by microdetg. the mol. weight of their picrates. The spectrophotometrical extinction was measured at 3800 A. in alc. containing 1-2 mg. of substance.

L8 ANSWER 8 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN

RN 100196-37-0 REGISTRY

CN 1,3-Propanediamine, N-benzyl-N',N'-dimethyl-N-phenyl-, fumarate (7CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C18 H24 N2 . C4 H4 O4

SR CAOLD

LC STN Files: CA, CAOLD, CAPLUS, RTECS*, TOXCENTER

(*File contains numerically searchable property data)

DT.CA Caplus document type: Journal; Patent

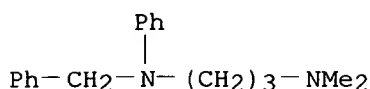
RL.P Roles from patents: NORL (No role in record)

RL.NP Roles from non-patents: NORL (No role in record)

CM 1

CRN 93947-34-3

CMF C18 H24 N2

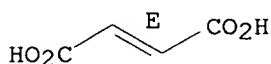


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)
2 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1

AN 59:74954 CA
TI Syntheses of analgesics. II. Syntheses and pharmacological action of N-(dimethylaminoalkyl)anilines
AU Kigasawa, Kazuo; Sugahara, Horoshi; Hiiragi, Mineharu; Fukawa, Kazunaga
CS Grelan Pharm. Co., Tokyo
SO Yakugaku Zasshi (1963), 83, 696-700
CODEN: YKKZAJ; ISSN: 0031-6903
DT Journal
LA Unavailable
AB A mixture of 1 mole Cl(CH₂)₃NMe₂ (I) and 2 moles p-EtOC₆H₄NH₂ or PhNH₂ refluxed 6 hrs. at 130-40°, made alkaline with NaOH, and the product extracted with C₆H₆ and fractionated gave 0.6 mole p-RC₆H₄NR'-CH₂CH₂CH₂NMe₂ (II) (R = EtO, R' = H), b₃ 160-1° (maleate m. 90-1°), or 0.75 mole II (R = R' = H), b_{2.5} 116-17°; fumarate m. 148-50°. p-EtOC₆H₄NHMe, PhNHMe, p-EtOC₆H₄NHCH₂Ph, or PhNHCH₂Ph (1 mole) in PhMe refluxed while adding 1 mole I dropwise, made alkaline, the product extracted with Et₂O, the Et₂O residue treated with an equal amount of Ac₂O, and the product fractionated gave II (R, R', b.p./mm., and m.p. of salts given): EtO, Me, 157-60°/3, HCl salt 196-8°, maleate 86-9°, fumarate 107°; H, Me, 110-12°/2, fumarate 103-5°, maleate 78-80°, HCl 175-6°; EtO, PhCH₂, 170-3°/1, fumarate, 106-8°; H, PhCH₂, 171-3°/2, fumarate 120-1°, maleate 100-1°, HCl 156-7°. Acetylation of II (R = EtO, R' = H or R = R' = H) with an equal amount of Ac₂O gave II (R = EtO, R' = H or R = R' = H) with an equal amount of Ac₂O gave II (R = EtO, R' = Ac), b_{4.5} 181-3° (maleate m. 118-21°); or II (R = H, R' = Ac), b_{1.5} 136-7°; fumarate m. 120-1°. Benzoylation of 1 mole of II (R = EtO, R' = H or R = R' = H) with 1.5 moles BzCl gave II (R = EtO, R' = Bz), b₂ 219-22° (maleate m. 134-6°), or II (R = H, R' = Bz), b_{0.5} 174-5°; maleate m. 134-6°. A mixture of 2 moles phenetidine and 1 mole ClCH₂CH₂NMe₂.HCl in EtOH refluxed 8 hrs. and the product treated as usual gave 75% p-RC₆H₄NR'CH₂CH₂NMe₂ (III) (R = EtO, R' = H), b₄ 145-7°; maleate m. 105-7°. Similarly were prepared the following III (R, R', % yield, b.p./mm., and m.p. of salt given): EtO, Me, 25, 145-8°/2.5, maleate 75-7°; EtO, PhCH₂, 60, 154-5°/1,

fumarate 118-19°; H, Me, 35, 97-9°/2, fumarate 124-6°. Treatment of above derivs. of III with Ac2O or BzCl gave the following derivs. of III (R, R', b.p./mm., and m.p. of salt given): EtO, Ac, 142-3°/1, fumarate 139-41°; EtO, Bz, 186-7°/1.5, fumarate 138-9°; H, Ac, 134-5°/4.5, maleate 115-16°; H, Bz, 168-9°/ 1.5, fumarate 102-4°. PhNH2 (25.6 g.), 10 g. Raney Ni, and 147 g. HOCH2CH2NMe2 refluxed 3 hrs. and the product fractionated gave 1.5 g. N-(2-dimethylaminoethyl)aniline, b0.5 100°; fumarate m. 154-5°. Some of the compds. showed less toxicity in mice than aminopyrine.

REFERENCE 2

AN 59:61841 CA

TI Analgesics

IN Suzuki, Kohei; Kigasawa, Kazuo; Fukawa, Kazunaga; Uchibori, Tetsuo

PA Grelan Pharmaceutical Co., Ltd.

SO 3 pp.

DT Patent

LA Unavailable

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 38002263		19630318	JP	19600107
AB	A mixture of 1 mole N-benzyl-p-phenetidine and 1 mole 1-dimethylamino-2-chloropropane is heated 2 hrs., shaken with H2O and a H2O-insol. organic solvent, the aqueous layer made alkaline, extracted with an organic solvent, and the extract evaporated and distilled in vacuo to give 65% N-(1-dimethylamino-2-propyl)-N-benzyl-p-phenetidine (b2.5 192-8°); fumarate m. 147°; maleate m. 116°; from the mother liquor after recrystn. is obtained N-(2-dimethylaminopropyl)-N-benzyl-p-phenetidine, b2.5 201-9°; fumarate m. 131°; maleate m. 95-6°. Similarly prepared are: N-(3-dimethylaminopropyl)-N-benzyl-p-phenetidine (b1 170-3°; fumarate m. 180°; maleate m. 88°), N-(2-dimethylaminopropyl)-N-benzylaniline (b2 169-70°; fumarate m. 133-4°; maleate m. 117-19°; picrate m. 127°), N-(1-dimethylamino-2-propyl)-N-benzylaniline (b2 169-70°; hydrochloride m. 94-6°; maleate m. 121.5-3°; fumarate m. 128-9°), N-(1-dimethylamino-2-propyl)-N-methyl-p-phenetidine (b3 146-50°; fumarate m. 123-5°), N-(2-dimethylaminopropyl)-N-methyl-p-phenetidine (b3 146-50°; fumarate m. 101°; picrate m. 119°), N-(3-dimethylaminopropyl)-N-methyl-p-phenetidine (b3 155-63°; hydrochloride m. 196-8°; maleate m. 86-9°; fumarate m. 105-7°), N-(1-dimethylamino-2-propyl)-N-methylaniline (b3 107-10°; picrate m. 131-3°; fumarate m. 120-2°), N-(2-dimethylaminopropyl)-N-methylaniline (b3 107-10°; picrate m. 119-21°), N-(3-dimethylaminopropyl)-N-methylaniline (b3 130-5°; fumarate m. 103-5°; maleate m. 78-80°; hydrochloride m. 176°), N-(3-dimethylaminopropyl)-N-benzylaniline (b2 170-3°; hydrochloride m. 157°; fumarate m. 119-21°; maleate m. 100-1°).				

L8 ANSWER 9 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN

RN 98470-71-4 REGISTRY

CN 1,3-Propanediamine, N-benzyl-N',N'-dimethyl-N-phenyl-, hydrochloride (7CI)
(CA INDEX NAME)

MF C18 H24 N2 . x Cl H

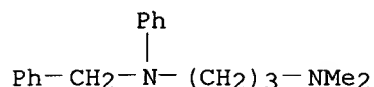
SR CAOLD

LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS, TOXCENTER
(*File contains numerically searchable property data)

DT.CA CAPLUS document type: Journal; Patent

RL.P Roles from patents: NORL (No role in record)

RL.NP Roles from non-patents: NORL (No role in record)
CRN (93947-34-3)



● x HCl

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)
2 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1

AN 59:74954 CA
TI Syntheses of analgesics. II. Syntheses and pharmacological action of N-(dimethylaminoalkyl)anilines
AU Kigasawa, Kazuo; Sugahara, Horoshi; Hiiragi, Mineharu; Fukawa, Kazunaga
CS Grelan Pharm. Co., Tokyo
SO Yakugaku Zasshi (1963), 83, 696-700
CODEN: YKKZAJ; ISSN: 0031-6903
DT Journal
LA Unavailable
AB A mixture of 1 mole Cl(CH₂)₃NMe₂ (I) and 2 moles p-EtOC₆H₄NH₂ or PhNH₂ refluxed 6 hrs. at 130-40°, made alkaline with NaOH, and the product extracted with C₆H₆ and fractionated gave 0.6 mole p-RC₆H₄NR'-CH₂CH₂CH₂NMe₂ (II) (R = EtO, R' = H), b₃ 160-1° (maleate m. 90-1°), or 0.75 mole II (R = R' = H), b_{2.5} 116-17°; fumarate m. 148-50°. p-EtOC₆H₄NHMe, PhNHMe, p-EtOC₆H₄NHCH₂Ph, or PhNHCH₂Ph (1 mole) in PhMe refluxed while adding 1 mole I dropwise, made alkaline, the product extracted with Et₂O, the Et₂O residue treated with an equal amount of Ac₂O, and the product fractionated gave II (R, R', b.p./mm., and m.p. of salts given): EtO, Me, 157-60°/3, HCl salt 196-8°, maleate 86-9°, fumarate 107°; H, Me, 110-12°/2, fumarate 103-5°, maleate 78-80°, HCl 175-6°; EtO, PhCH₂, 170-3°/1, fumarate, 106-8°; H, PhCH₂, 171-3°/2, fumarate 120-1°, maleate 100-1°, HCl 156-7°. Acetylation of II (R = EtO, R' = H or R = R' = H) with an equal amount of Ac₂O gave II (R = EtO, R' = H or R = R' = H) with an equal amount of Ac₂O gave II (R = EtO, R' = Ac), b_{4.5} 181-3° (maleate m. 118-21°); or II (R = H, R' = Ac), b_{1.5} 136-7°; fumarate m. 120-1°. Benzoylation of 1 mole of II (R = EtO, R' = H or R = R' = H) with 1.5 moles BzCl gave II (R = EtO, R' = Bz), b₂ 219-22° (maleate m. 134-6°), or II (R = H, R' = Bz), b_{0.5} 174-5°; maleate m. 134-6°. A mixture of 2 moles phenetidine and 1 mole ClCH₂CH₂NMe₂.HCl in EtOH refluxed 8 hrs. and the product treated as usual gave 75% p-RC₆H₄NR'CH₂CH₂NMe₂ (III) (R = EtO, R' = H), b₄ 145-7°; maleate m. 105-7°. Similarly were prepared the following III (R, R', % yield, b.p./mm., and m.p. of salt given): EtO, Me, 25, 145-8°/2.5, maleate 75-7°; EtO, PhCH₂, 60, 154-5°/1, fumarate 118-19°; H, Me, 35, 97-9°/2, fumarate 124-6°. Treatment of above derivs. of III with Ac₂O or BzCl gave the following derivs. of III (R, R', b.p./mm., and m.p. of salt given): EtO, Ac, 142-3°/1, fumarate 139-41°; EtO, Bz, 186-7°/1.5, fumarate 138-9°; H, Ac, 134-5°/4.5, maleate 115-16°; H, Bz, 168-9°/1.5, fumarate 102-4°. PhNH₂ (25.6 g.), 10 g. Raney Ni, and 147 g. HOCH₂CH₂NMe₂ refluxed 3 hrs.

and the product fractionated gave 1.5 g. N-(2-dimethylaminoethyl)aniline, b0.5 100°; fumarate m. 154-5°. Some of the compds. showed less toxicity in mice than aminopyrine.

REFERENCE 2

AN 59:61841 CA

TI Analgesics

IN Suzuki, Kohei; Kigasawa, Kazuo; Fukawa, Kazunaga; Uchibori, Tetsuo

PA Grelan Pharmaceutical Co., Ltd.

SO 3 pp.

DT Patent

LA Unavailable

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 38002263		19630318	JP	19600107
AB	A mixture of 1 mole N-benzyl-p-phenetidine and 1 mole 1-dimethylamino-2-chloropropane is heated 2 hrs., shaken with H2O and a H2O-insol. organic solvent, the aqueous layer made alkaline, extracted with an organic solvent, and the extract evaporated and distilled in vacuo to give 65% N-(1-dimethylamino-2-propyl)-N-benzyl-p-phenetidine (b2.5 192-8°); fumarate m. 147°; maleate m. 116°; from the mother liquor after recrystn. is obtained N-(2-dimethylaminopropyl)-N-benzyl-p-phenetidine, b2.5 201-9°; fumarate m. 131°; maleate m. 95-6°. Similarly prepared are: N-(3-dimethylaminopropyl)-N-benzyl-p-phenetidine (b1 170-3°; fumarate m. 180°; maleate m. 88°), N-(2-dimethylaminopropyl)-N-benzylaniline (b2 169-70°; fumarate m. 133-4°; maleate m. 117-19°; picrate m. 127°), N-(1-dimethylamino-2-propyl)-N-benzylaniline (b2 169-70°; hydrochloride m. 94-6°; maleate m. 121.5-3°; fumarate m. 128-9°), N-(1-dimethylamino-2-propyl)-N-methyl-p-phenetidine (b3 146-50°; fumarate m. 123-5°), N-(2-dimethylaminopropyl)-N-methyl-p-phenetidine (b3 146-50°; fumarate m. 101°; picrate m. 119°), N-(3-dimethylaminopropyl)-N-methyl-p-phenetidine (b3 155-63°; hydrochloride m. 196-8°; maleate m. 86-9°; fumarate m. 105-7°), N-(1-dimethylamino-2-propyl)-N-methylaniline (b3 107-10°; picrate m. 131-3°; fumarate m. 120-2°), N-(2-dimethylaminopropyl)-N-methylaniline (b3 107-10°; picrate m. 119 21°), N-(3-dimethylaminopropyl)-N-methylaniline (b3 130 5°; fumarate m. 103-5°; maleate m. 78-80°; hydrochloride m. 176°), N-(3-dimethylaminopropyl)-N-benzylaniline (b2 170-3°; hydrochloride m. 157°; fumarate m. 119-21°; maleate m. 100-1°).				

REFERENCE 3

AN 40:37436 CA

TI Steric analogies and biological activities. X. Antagonists of histamine

AU Carrara, G.; D'Amato, V.; Pagani, R.

CS Lab. S.A. Lepetit, Milan, Italy

SO Chimica e l'Industria (Milan, Italy) (1946), 28, 9-11

CODEN: CINMAB; ISSN: 0009-4315

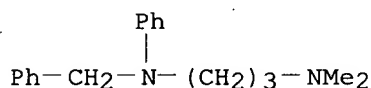
DT Journal

LA Unavailable

AB The following imidazole derivs. were prepared (to compare their activity with that of histamine) by the reaction of a diketone with an aldehyde in the presence of NH2: 4(5)-methylphenylimidazole m. 185° (HCl derivative m. 192°), 2-Me derivative m. 224-7° (HCl derivative m. 235-7°), 2-Et derivative m. 130-5° (HCl derivative m. 200-3°), 2-Pr derivative not m. but becoming gummy (HCl derivative m. 135-40°), 2-Ph derivative m. 213-15°, 2-benzyl derivative m.

210-13° (HCl derivative m. 220-3°), 4,5-diphenylimidazole-HCl m. 227-30°, 2-Me derivative m. 234-5°, 2-Et derivative m. 215-16°, 2-Pr derivative-HCl m. 237-8°, 2-Ph derivative-HCl m. 173-5°. The biol. action of these compds., having structures analogous to that of histamine but nearly without its action, will be described later. The following dialkylaminoalkylanilines were also prepared: C₆H₅CH₂N(C₆H₅)(CH₂)₂N(CH₃)₂ b1 157-8°, nD 1.583 (HCl derivative m. 207-8°); C₆H₅CH₂N(C₆H₅)(CH₂)₃N(CH₃)₂ b2 170-5°, nD 1.603 (HCl derivative m. 121-3°); C₆H₅CH₂N(C₆H₅)(CH₂)₂N(C₆H₅)₂ b2 173-4° (HCl derivative m. 169-70°).

L8 ANSWER 10 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 93947-34-3 REGISTRY
 CN 1,3-Propanediamine, N-benzyl-N',N'-dimethyl-N-phenyl- (7CI) (CA INDEX NAME)
 FS 3D CONCORD
 MF C18 H24 N2
 CI COM
 LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS, TOXCENTER
 (*File contains numerically searchable property data)
 DT.CA CAPLUS document type: Journal; Patent
 RL.P Roles from patents: NORL (No role in record)
 RL.NP Roles from non-patents: NORL (No role in record)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)
 4 REFERENCES IN FILE CAPLUS (1907 TO DATE)
 2 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1

AN 59:74954 CA
 TI Syntheses of analgesics. II. Syntheses and pharmacological action of N-(dimethylaminoalkyl)anilines
 AU Kigasawa, Kazuo; Sugahara, Horoshi; Hiiragi, Mineharu; Fukawa, Kazunaga
 CS Grelan Pharm. Co., Tokyo
 SO Yakugaku Zasshi (1963), 83, 696-700
 CODEN: YKKZAJ; ISSN: 0031-6903
 DT Journal
 LA Unavailable
 AB A mixture of 1 mole Cl(CH₂)₃NMe₂ (I) and 2 moles p-EtOC₆H₄NH₂ or PhNH₂ refluxed 6 hrs. at 130-40°, made alkaline with NaOH, and the product extracted with C₆H₆ and fractionated gave 0.6 mole p-RC₆H₄NR'-CH₂CH₂CH₂NMe₂ (II) (R = EtO, R' = H), b3 160-1° (maleate m. 90-1°), or 0.75 mole II (R = R' = H), b2.5 116-17°; fumarate m. 148-50°. p-EtOC₆H₄NHMe, PhNHMe, p-EtOC₆H₄NHCH₂Ph, or PhNHCH₂Ph (1 mole) in PhMe refluxed while adding 1 mole I dropwise, made alkaline, the product extracted with Et₂O, the Et₂O residue treated with an equal amount of Ac₂O, and the product fractionated gave II (R, R', b.p./mm., and m.p. of salts given): EtO, Me, 157-60°/3, HCl salt 196-8°, maleate 86-9°, fumarate 107°; H, Me, 110-12°/2, fumarate 103-5°, maleate 78-80°, HCl 175-6°; EtO, PhCH₂, 170-3°/1, fumarate, 106-8°; H, PhCH₂, 171-3°/2, fumarate 120-1°, maleate 100-1°, HCl 156-7°.

Acetylation of II (R = EtO, R' = H or R = R' = H) with an equal amount of Ac2O gave II (R = EtO, R' = H or R = R' = H) with an equal amount of Ac2O gave II (R = EtO, R' = Ac), b4.5 181-3° (maleate m. 118-21°); or II (R = H, R' = Ac), b1.5 136-7°; fumarate m. 120-1°. Benzoylation of 1 mole of II (R = EtO, R' = H or R = R' = H) with 1.5 moles BzCl gave II (R = EtO, R' = Bz), b2 219-22° (maleate m. 134-6°), or II (R = H, R' = Bz), b0.5 174-5°; maleate m. 134-6°. A mixture of 2 moles phenetidine and 1 mole ClCH2CH2NMe2.HCl in EtOH refluxed 8 hrs. and the product treated as usual gave 75% p-RC6H4NR'CH2CH2NMe2 (III) (R = EtO, R' = H), b4 145-7°; maleate m. 105-7°. Similarly were prepared the following III (R, R', % yield, b.p./mm., and m.p. of salt given): EtO, Me, 25, 145-8°/2.5, maleate 75-7°; EtO, PhCH2, 60, 154-5°/1, fumarate 118-19°; H, Me, 35, 97-9°/2, fumarate 124-6°. Treatment of above derivs. of III with Ac2O or BzCl gave the following derivs. of III (R, R', b.p./mm., and m.p. of salt given): EtO, Ac, 142-3°/1, fumarate 139-41°; EtO, Bz, 186-7°/1.5, fumarate 138-9°; H, Ac, 134-5°/4.5, maleate 115-16°; H, Bz, 168-9°/1.5, fumarate 102-4°. PhNH2 (25.6 g.), 10 g. Raney Ni, and 147 g. HOCH2CH2NMe2 refluxed 3 hrs. and the product fractionated gave 1.5 g. N-(2-dimethylaminoethyl)aniline, b0.5 100°; fumarate m. 154-5°. Some of the compds. showed less toxicity in mice than aminopyrine.

REFERENCE 2

AN 59:61841 CA
 TI Analgesics
 IN Suzuki, Kohei; Kigasawa, Kazuo; Fukawa, Kazunaga; Uchibori, Tetsuo
 PA Grelan Pharmaceutical Co., Ltd.
 SO 3 pp.
 DT Patent
 LA Unavailable

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 38002263		19630318	JP	19600107

AB A mixture of 1 mole N-benzyl-p-phenetidine and 1 mole 1-dimethylamino-2-chloropropane is heated 2 hrs., shaken with H2O and a H2O-insol. organic solvent, the aqueous layer made alkaline, extracted with an organic solvent, and the extract evaporated and distilled in vacuo to give 65% N-(1-dimethylamino-2-propyl)-N-benzyl-p-phenetidine (b2.5 192-8°); fumarate m. 147°; maleate m. 116°; from the mother liquor after recrystn. is obtained N-(2-dimethylaminopropyl)-N-benzyl-p-phenetidine, b2.5 201-9°; fumarate m. 131°; maleate m. 95-6°. Similarly prepared are: N-(3-dimethylaminopropyl)-N-benzyl-p-phenetidine (b1 170-3°; fumarate m. 180°; maleate m. 88°), N-(2-dimethylaminopropyl)-N-benzylaniline (b2 169-70°; fumarate m. 133-4°; maleate m. 117-19°; picrate m. 127°), N-(1-dimethylamino-2-propyl)-N-benzylaniline (b2 169-70°; hydrochloride m. 94-6°; maleate m. 121.5-3°; fumarate m. 128-9°), N-(1-dimethylamino-2-propyl)-N-methyl-p-phenetidine (b3 146-50°; fumarate m. 123-5°), N-(2-dimethylaminopropyl)-N-methyl-p-phenetidine (b3 146-50°; fumarate m. 101°; picrate m. 119°), N-(3-dimethylaminopropyl)-N-methyl-p-phenetidine (b3 155-63°; hydrochloride m. 196-8°; maleate m. 86-9°; fumarate m. 105-7°), N-(1-dimethylamino-2-propyl)-N-methylaniline (b3 107-10°; picrate m. 131-3°; fumarate m. 120-2°), N-(2-dimethylaminopropyl)-N-methylaniline (b3 107-10°; picrate m. 119 21°), N-(3-dimethylaminopropyl)-N-methylaniline (b3 130 5°; fumarate m. 103-5°; maleate m. 78-80°; hydrochloride m. 176°), N-(3-dimethylaminopropyl)-N-benzylaniline

(b2 170-3°; hydrochloride m. 157°; fumarate m. 119-21°; maleate m. 100-1°).

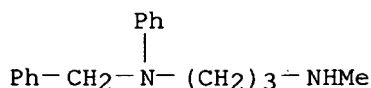
REFERENCE 3

AN 46:39647 CA
TI Quantitative study of the potentiating effects of various substituted aromatic amines on the action of oxytocin on the uterus of the guinea pig
AU Clauser, Hubert; Maier-Huser, Hanns; Fromageot, Claude
CS Faculte sci., Paris
SO Biochimica et Biophysica Acta (1951), 7, 429-38
CODEN: BBACAQ; ISSN: 0006-3002
DT Journal
LA French
AB The highest action among the 20 amines studied is that of N-[2-(4-morpholinyl)ethyl]-N-(2-thenyl)-2-aminopyridine (04.976 Eli Lilly). The action of N-(2-dimethylaminoethyl)-N-(2-thenyl)-2-aminopyridine (01.013 Eli Lilly) is less, and the action of the others is much smaller. The potentiating effects on oxytocin and the contracting actions of these amines go parallel, the latter phenomenon requiring much higher concns. of amine. The relation of potentiating effect to chemical structure is discussed.

REFERENCE 4

AN 40:37436 CA
TI Steric analogies and biological activities. X. Antagonists of histamine
AU Carrara, G.; D'Amato, V.; Pagani, R.
CS Lab. S.A. Lepetit, Milan, Italy
SO Chimica e l'Industria (Milan, Italy) (1946), 28, 9-11
CODEN: CINMAB; ISSN: 0009-4315
DT Journal
LA Unavailable
AB The following imidazole derivs. were prepared (to compare their activity with that of histamine) by the reaction of a diketone with an aldehyde in the presence of NH₂: 4(5)-methylphenylimidazole m. 185° (HCl derivative m. 192°), 2-Me derivative m. 224-7° (HCl derivative m. 235-7°), 2-Et derivative m. 130-5° (HCl derivative m. 200-3°), 2-Pr derivative not m. but becoming gummy (HCl derivative m. 135-40°), 2-Ph derivative m. 213-15°, 2-benzyl derivative m. 210-13° (HCl derivative m. 220-3°), 4,5-diphenylimidazole-HCl m. 227-30°, 2-Me derivative m. 234-5°, 2-Et derivative m. 215-16°, 2-Pr derivative-HCl m. 237-8°, 2-Ph derivative-HCl m. 173-5°. The biol. action of these compds., having structures analogous to that of histamine but nearly without its action, will be described later. The following dialkylaminoalkylanilines were also prepared: C₆H₅CH₂N(C₆H₅)(CH₂)₂N(CH₃)₂ b1 157-8°, nD 1.583 (HCl derivative m. 207-8°); C₆H₅CH₂N(C₆H₅)(CH₂)₃N(CH₃)₂ b2 170-5°, nD 1.603 (HCl derivative m. 121-3°); C₆H₅CH₂N(C₆H₅)(CH₂)₂N(C₆H₅)₂ b2 173-4° (HCl derivative m. 169-70°).

L8 ANSWER 11 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN
RN 93657-92-2 REGISTRY
CN 1,3-Propanediamine, N-benzyl-N'-methyl-N-phenyl- (7CI) (CA INDEX NAME)
FS 3D CONCORD
MF C17 H22 N2
LC STN Files: CA, CAOLD, CAPLUS
DT.CA Caplus document type: Patent
RL.P Roles from patents: NORL (No role in record)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1

AN 60:52771 CA
TI Therapeutically active nitrogen compounds
PA Organon N.V.
SO 16 pp.
DT Patent
LA Unavailable

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	BE 627240		19630717	BE	
	FR M2481			FR	
	GB 1031261			GB	
	NL 109484			NL	

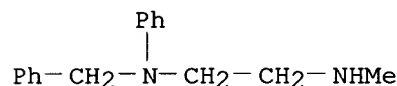
PRAI NL 19620118

GI For diagram(s), see printed CA Issue.

AB I (R = R1 = H or halo, R2 = H or alkyl, R3 = a N-containing heterocycle) are antihistamines and antispasmodics. 4-ClC6H4NHCH2Ph (8.3 g.), 20 g. ClCH2CO2H, and 16.6 g. AcONa was heated 1.5 hrs. at 150° to give 7 g. 4-ClC6H4N(CH2Ph)CH2CO2H, m. 122-3°; Me ester (III) m. 53-5°. III (3 g.) was refluxed 18 hrs. with 6 g. histamine in 10 ml. MeOH to give 3.84 g. II (R = Cl, R1 = H, X = H2, Y = O, R2 = H, R3 = 4-imidazolyl, m = 2) (IV), m. 96-8° (alc.-Et2O). Reduction of IV with LiAlH4 in Et2O gave (I) (R = Cl, R1 = H, R2 = H, R3 = 4-imidazolyl, n = 2, m = 2) (V), dimaleate m. 161-2°. V was treated with ClCO2Et in AcONa-H2O to give the carbethoxy compound which was reduced with LiAlH4 to I (R = Cl, R1 = H, R2 = Me, R3 = 4-imidazolyl, n = 2, m = 2) (VI), dipicrate m. 201-2°. To 4 g. 4-ClC6H4NHCH2Ph in 20 ml. benzene and 1.5 ml. C5H5N was added 1.84 ml. ClCOCH2Cl to give 3.3 g. 4-ClC6H4N(CH2Ph)COCH2Cl, m. 97-8° (VII). Similarly prepared was 4-BrC6H4N(CH2Ph)COCH2Cl (VIII), m. 104-5°. Refluxing 3.3 g. VII with 2.25 g. N-methylhistamine in 10 ml. MeOH gave 1.1 g. II (R = Cl, R1 = H, R2 = Me, R3 = 4-imidazolyl, X = O, Y = H2, m = 2) (IX); dimaleate m. 153-4°. Reduction of IX with B2H6 in tetrahydrofuran gave VI. The following II were similarly prepared (R, R1, R2, R3, X, Y, m, and m.p. given): H, H, H, 4-imidazolyl, H2, O, 2, 122-4°; Cl, H, H, 3-pyrazolyl, O, H2, 2, -; H, H, H, 3-pyrazolyl, H2, O, 2, 121-3°; Cl, H, H, 3-pyrazolyl, O, H2, 2, - (fumarate m. 177-8°). Treatment of VIII with MeNH2 in MeOH gave 4-BrC6H4N(CH2Ph)COCH2NHMe, m. 79-81°, which was reduced with B2H6 to 4-BrC6H4N(CH2Ph)CH2CH2NHMe (X). Refluxing X with α-vinylpyridine in AcOH MeOH gave I (R = Br, R1 = H, R2 = Me, R3 = 2-pyridyl, n = 2, m = 2); maleate m. 133-4°. The following I were prepared (R, R1, R2, R3, n, m, salt, and m.p. of salt given): H, H, H, 4-imidazolyl, 2, 2, di-HCl, 161-2° (dipicrate m. 183-5°); Cl, H, H, 3-pyrazolyl, 2, 2, maleate, 154-5°; Cl, H, H, 3-pyrazolyl, 2, 2, maleate, 150.5-1.5°; H, H, H, 2-pyridyl, 2, 2, dipicrate, 155-6° (tri-HCl salt m. 151-2°); H, Cl, Me, 2-pyridyl, 2, 2, dimaleate, 106.5-107°; H, H, Me, 2-pyridyl, 3, 2, di-HCl, 133.5-4.5°; Cl, H, H, 2-pyridyl, 2, 3, -, -, H, H, Me, 2-pyridyl, 2, 2, di-HCl, 171-1.5° (methiodide m. 125-6°);

Cl, H, Me, 2-pyridyl, 2, 2, dimaleate, 114-16° (methiodide m. 130-1°); H, H, H, 2-pyridyl, 3, 2, maleate, 117.5-18.5°; Cl, H, Et, 2-pyridyl, 2, 2, dimaleate, 103-5°; H, H, H, 4-imidazolyl, 2, 1, -, -.

L8 ANSWER 12 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN
RN 66711-48-6 REGISTRY
CN 1,2-Ethanediamine, N'-methyl-N-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C16 H20 N2
CI COM
LC STN Files: BEILSTEIN*, CA, CAPLUS
(*File contains numerically searchable property data)
DT.CA Caplus document type: Journal
RL.NP Roles from non-patents: PREP (Preparation); PRP (Properties)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1

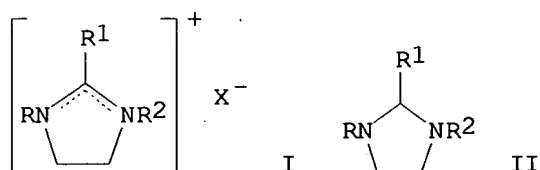
AN 141:254710 CA
TI G-protein coupled receptors: SAR analyses of neurotransmitters and antagonists
AU Kuo, C. L.; Wang, R. B.; Shen, L. J.; Lien, L. L.; Lien, E. J.
CS School of Pharmacy, University of Southern California, Los Angeles, CA, USA
SO Journal of Clinical Pharmacy and Therapeutics (2004), 29(3), 279-298
CODEN: JCPTED; ISSN: 0269-4727
PB Blackwell Publishing Ltd.
DT Journal
LA English
AB Background: From the deductive point of view, neurotransmitter receptors can be divided into categories such as cholinergic (muscarinic, nicotinic), adrenergic (α - and β -), dopaminergic, serotonergic (5-HT₁.apprx.5-HT₅), and histaminergic (H₁ and H₂). Selective agonists and antagonists of each receptor subtype can have specific useful therapeutic applications. For understanding the mol. mechanisms of action, an inductive method of anal. is useful. Objective: The aim of the present study is to examine the structure-activity relationships of agents acting on G-protein coupled receptors. Method: Representative sets of G-PCR agonists and antagonists were identified from the literature and Medline [P.M. Walsh (2003) Physicians' desk reference; M.J. O'Neil (2001) The Merck index]. The mol. weight (MW), calculated logarithm of octanol/water partition coefficient (C log P) and molar refraction (CMR), dipole moment (DM), Elumo (the energy of the LUMO, a measure of the electron affinity of a mol. and its reactivity as an electrophile), Ehomo (the energy of the HOMO, related to the ionization potential of a mol., and its reactivity as a nucleophile), and the total number of hydrogen bonds (Hb) (donors and receptors), were chosen as mol. descriptors for SAR analyses. Results: The data suggest that not only do neurotransmitters share common structural features but their receptors belong to the same

ensemble of G-protein coupled receptor with seven to eight transmembrane domains with their resultant dipoles in an antiparallel configuration. Moreover, the anal. indicates that the receptor exists in a dynamic equilibrium between the closed state and the open state. The energy needed to open the closed state is provided by the hydrolysis of GTP. A composite 3-D parameter frame setting of all the neurotransmitter agonists and antagonists are presented using MW, Hb and μ as independent variables. Conclusion: It appears that all neurotransmitters examined in this study operate by a similar mechanism with the G-protein coupled receptors.

RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

REFERENCE 2

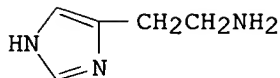
AN 118:233948 CA
TI Reduction of substituted 1H-4,5-dihydroimidazolium salts
AU Salerno, Alejandra; Ceriani, Vanina; Perillo, Isabel A.
CS Fac. Farm. Bioquim., Univ. Nacional Buenos Aires, Buenos Aires, Argent.
SO Journal of Heterocyclic Chemistry (1992), 29(7), 1725-34
CODEN: JHTCAD; ISSN: 0022-152X
DT Journal
LA English
GI



AB Reactions of several substituted 1H-4,5-dihydroimidazolium salts I (R = Ph, 4-MeOC6H4, 4-ClC6H4, 2-naphthyl, Me, Me2CH; R1 = Ph, 4-ClC6H4, 4-MeOC6H4, 4-O2NC6H4, H, Me; R2 = Me, Ph; X- = iodide, Cl-, ClO4-) with nucleophilic and electrophilic reducing agents acting via hydride transfer were explored. Reaction of compds. I with lithium aluminum hydride in THF afforded the corresponding imidazolidines II. When alkaline borohydrides (sodium borohydride, potassium borohydride, sodium cyanoborohydride) in ethanol at room temperature were used, partial or total over-reduction of compds. II leading to N,N,N'-trisubstituted ethylenediamines RNHCH2CH2NR2CH2R1 took place on occasion. Results may be explained taking into account that reductive cleavage of II proceeds via a stabilized iminium ion present in protic solvents. Treatment of compds. I with an excess of borane in THF afforded the corresponding imidazolidines II or their borane complexes, according to the substituent type.

REFERENCE 3

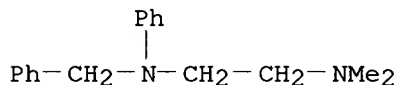
AN 89:36894 CA
TI Competitive and noncompetitive antagonism
AU Van den Brink, Frans G.; Lien, Eric J.
CS USA
SO Handbuch der Experimentellen Pharmakologie (1978), 18(Histamine Anti-Histaminics, Part 2), 333-67
CODEN: HXPHAU; ISSN: 0073-0033
DT Journal
LA English
GI



I

AB A comprehensive discussion is presented on the interactions of histamine (I) [51-45-6] agonists and antagonists with receptors. The pD2 value (the neg. logarithm of the molar concns. of the agonist which produces 50% of the maximum effect of the drug or receptors), pA2 value (neg. logarithm of the molar concns. of the antagonist in the presence of which twice the original concentration of the agonist is needed for the original effect), αE (intrinsic activity value), and pD21 value (the affinity to the metacoid receptors) for 75 drugs are given. These drugs react with the histaminergic system (guinea pig ileum) and also have an affinity for a cholinergic system (rat intestine). The effects of substitution of various chemical groups on the receptor interactions of these drugs are also discussed.

L8 ANSWER 13 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 64335-73-5 REGISTRY
 CN 1,2-Ethanediamine, N,N-dimethyl-N'-phenyl-N'-(phenylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)
 MF C17 H22 N2 . 2 Cl H
 LC STN Files: BEILSTEIN*, CA, CAPLUS
 (*File contains numerically searchable property data)
 DT.CA Caplus document type: Journal
 RL.NP Roles from non-patents: BIOL (Biological study)
 CRN (961-71-7)



● 2 HCl

2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

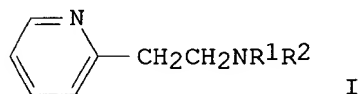
REFERENCE 1

AN 89:190965 CA
 TI Pharmacological studies on supersensitization. V. Augmentation of pressor response to catecholamine induced by N,N-dimethylethylenediamines
 AU Araki, Kiyoshi; Ohashi, Tomiji; Gomi, Yasuo
 CS Fac. Pharm. Sci., Kanazawa Univ., Kanazawa, Japan
 SO Nippon Yakurigaku Zasshi (1978), 74(3), 335-43
 CODEN: NYKZAU; ISSN: 0015-5691
 DT Journal
 LA Japanese
 AB Effects of N,N-dimethylethylenediamines on the epinephrine-HCl [55-31-2]-induced pressor response in pentobarbital-anesthetized rats were examined Tripelennamine-HCl [154-69-8], N,N-dibenzyl-N,N'-dimethylethylenediamine (I) [68156-59-2] and N1,N1-dibenzyl-N2,N2-dimethyl-1,2-propanediamine (II) [68156-60-5] were the most potent potentiators of epinephrine. In addition in pithed rat, tripelennamine, I, II and cocaine

augmented the pressor response induced by epinephrine. In pentobarbital-anesthetized rats or in perfused hind paw of rats, the potentiation induced by cocaine and tripeleennamine was more marked with norepinephrine-HCl [329-56-6] than with epinephrine, but the potentiation induced by I and II was more marked with epinephrine. In pentobarbital-anesthetized rats, tyramine-HCl [60-19-5]-induced pressor responses were decreased or abolished by cocaine and tripeleennamine, but these responses were increased by I and II. In pentobarbital-anesthetized rats, isoproterenol-, acetylcholine- and histamine-induced depressor responses were not influenced by cocaine, tripeleennamine, I and II. Sensitivity of reserpinized rats to epinephrine was about 8 times greater than that seen in pentobarbital-anesthetized rats. In reserpinized rats, epinephrine-induced pressor responses were slightly increased by cocaine, tripeleennamine and II, but these potentiations were not dose-dependent. Apparently, one of the sites of action of cocaine, tripeleennamine, I and II is localized in the peripheral portion of the cardiovascular system. The principal mode of action of cocaine and tripeleennamine appears to be inhibition of the amine-uptake mech. at sympathetic nerve endings. The mode of action of I and II is apparently different from the actions of cocaine and tripeleennamine.

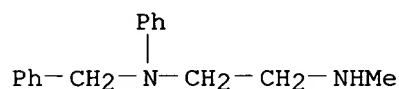
REFERENCE 2

AN 87:145548 CA
 TI pD₂-, pA₂- and pD₂'-values of a series of compounds in a histaminic and a cholinergic system
 AU Van den Brink, Frans G.; Lien, Erik J.
 CS Dep. Pharmacol., Univ. Nijmegen, Nijmegen, Neth.
 SO European Journal of Pharmacology (1977), 44(3), 251-70
 CODEN: EJPHAZ; ISSN: 0014-2999
 DT Journal
 LA English
 GI



AB Affinity and intrinsic activity values of 75 compds. for a histaminergic and a cholinergic system are presented. The quant. correlations between the affinity values of 35 derivs. of 2-(β-aminoethyl)pyridine (I) [2706-56-1] and some physicochem. consts. (Van der Waals volume, lipophilicity, number of hydrogen atoms on the protonated amine) are discussed. Absence of systematic differences between pD₂ (agonist affinity) and pA₂ (competitive antagonist affinity) of partial agonists supports the assumption that these values are equivalent expressions of the same affinity. The mimetic moiety in a number of the antihistaminic test compds. hardly contributes to their affinity. The affinity mainly depends on an interaction tendency with addnl. receptor areas. The correlation between pA₂ and pD₂' (affinity with respect to metacoid (noncompetitive) receptors) of the whole series of compds. in the histaminergic system is artificial. The method only allows determination of both values if their ratio lies between certain limits. The correlation between pA₂ and pD₂' for 16 closely related compds. in the guinea pig ileum and for nearly all compds. in the rat intestine has to be explained by an influence of the structural differences on drug transference and/or the less specific binding forces. The metactoid receptors in the 2 systems are different structures. Possible mol. modifications to maximize the separation of antihistaminic from cholinergic affinity are suggested.

L8 ANSWER 14 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 64335-72-4 REGISTRY
 CN 1,2-Ethanediamine, N'-methyl-N-phenyl-N-(phenylmethyl)-, dihydrochloride
 (9CI) (CA INDEX NAME)
 MF C16 H20 N2 . 2 Cl H
 LC STN Files: BEILSTEIN*, CA, CAPLUS
 (*File contains numerically searchable property data)
 DT.CA Caplus document type: Journal
 RL.NP Roles from non-patents: BIOL (Biological study)
 CRN (66711-48-6)

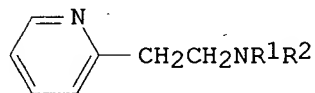


● 2 HCl

1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1

AN 87:145548 CA
 TI pD2-, pA2- and pD2'-values of a series of compounds in a histaminic and a cholinergic system
 AU Van den Brink, Frans G.; Lien, Erik J.
 CS Dep. Pharmacol., Univ. Nijmegen, Nijmegen, Neth.
 SO European Journal of Pharmacology (1977), 44(3), 251-70
 CODEN: EJPHAZ; ISSN: 0014-2999
 DT Journal
 LA English
 GI



I

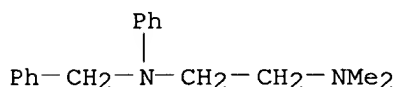
AB Affinity and intrinsic activity values of 75 compds. for a histaminergic and a cholinergic system are presented. The quant. correlations between the affinity values of 35 derivs. of 2-(β-aminoethyl)pyridine (I) [2706-56-1] and some physicochem. consts. (Van der Waals volume, lipophilicity, number of hydrogen atoms on the protonated amine) are discussed. Absence of systematic differences between pD2 (agonist affinity) and pA2 (competitive antagonist affinity) of partial agonists supports the assumption that these values are equivalent expressions of the same affinity. The mimetic moiety in a number of the antihistaminic test compds. hardly contributes to their affinity. The affinity mainly depends on an interaction tendency with addnl. receptor areas. The correlation between pA2 and pD2' (affinity with respect to metacoid (noncompetitive) receptors) of the whole series of compds. in the histaminergic system is artificial. The method only allows determination of both values if their ratio lies between certain limits. The correlation between pA2 and pD2' for 16 closely related compds. in the guinea pig ileum and for nearly all compds. in the rat intestine has to be explained by an influence of the structural

differences on drug transference and/or the less specific binding forces. The metactoid receptors in the 2 systems are different structures. Possible mol. modifications to maximize the separation of antihistaminic from cholinergic affinity are suggested.

L8 ANSWER 15 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN
RN 34423-43-3 REGISTRY
CN p-Benzoquinone, 2,3,5,6-tetrachloro-, compd. with N-benzyl-N',N'-dimethyl-N-phenylethylenediamine (8CI) (CA INDEX NAME)
MF C17 H22 N2 . x C6 Cl4 O2
LC STN Files: CA, CAPLUS
DT.CA CAPLUS document type: Journal
RL.NP Roles from non-patents: PREP (Preparation)

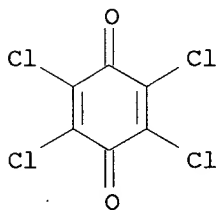
CM 1

CRN 961-71-7
CMF C17 H22 N2



CM 2

CRN 118-75-2
CMF C6 Cl4 O2

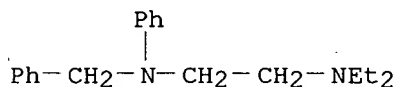


1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1

AN 75:3912 CA
TI Electron donating properties of the major tranquilizers
AU Saucin, Michel; Van de Vorst, A.
CS Inst. Phys., Univ. Liege, Liege, Belg.
SO Biochemical Pharmacology (1971), 20(4), 909-11
CODEN: BCPCA6; ISSN: 0006-2952
DT Journal
LA English
AB The charge-transfer complexes between some tranquilizers and antihistamines with chloranil (electron acceptor) were investigated. The transfer bands obtained with 28 phenothiazine- and butyrophenone-type tranquilizers and 11 antihistamines were recorded. The reaction is reversible, thus excluding any chemical reaction between the drugs and chloranil.

L8 ANSWER 16 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 10019-18-8 REGISTRY
 CN Ethylenediamine, N-benzyl-N',N'-diethyl-N-phenyl- (6CI, 7CI, 8CI) (CA INDEX NAME)
 OTHER NAMES:
 CN Rodismin
 FS 3D CONCORD
 MF C19 H26 N2
 CI COM
 LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS
 (*File contains numerically searchable property data)
 DT.CA CAPLUS document type: Journal; Patent
 RL.P Roles from patents: NORL (No role in record)
 RL.NP Roles from non-patents: NORL (No role in record)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

5 REFERENCES IN FILE CA (1907 TO DATE)
 5 REFERENCES IN FILE CAPLUS (1907 TO DATE)
 2 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1

AN 64:3297 CA
 TI New procedures for systematic analysis of medicinals by paper and thin-layer chromatography
 AU Macek, K.; Vecerkova, J.
 CS Forschungsinst. Pharm. Biochem., Prague
 SO Pharmazie (1965), 20(10), 605-16
 CODEN: PHARAT; ISSN: 0031-7144
 DT Journal
 LA German
 AB cf. CA 51, 1538i. A new method was perfected for identifying 161 medicinals, both natural compds. (e.g., pilocarpine) and synthetic (e.g., tetracaine), which involves separation of the substances into 3 groups by extraction
 first at a low pH, then at a high pH, and then using an ion exchanger. The further separation of each group is then done with paper chromatography (PC) with thin-layer chromatography (TLC) also serving for identification of the individual compds. A diversity of mobile solvent systems (13 for PC and 13 for TLC) and reagents (17 for PC and 2 for TLC, also uv light) is given for the various compds. The Rf values, spot colors, and special features are all tabulated for these compds. The aqueous solution is acidified with HCl to pH 3-4 and repeatedly shaken out with Et2O; the aqueous extract is then shaken with NaHCO3 to pH 10-11 and extracted several times with Et2O. The exts. are dried over Na2SO4 and evaporated, the small residue being dissolved in alc. To the original aqueous solution a cation exchanger is added,
 stirred, filtered out, washed with N HCl, the acid exts. are combined and evaporated on a water bath, and the salts of the quaternary bases dissolved in warm alc. By following this procedure, only 5 compds. could not be fully separated, viz., the pairs of barbital and pentobarbital, methylergometrine and apomorphine, orphenadrine-alfadryl, and antiparkin-methadone. However, these could be detected by reagent tests or after elution spectrophotometry. 14 references.

REFERENCE 2

AN 64:3296 CA
 TI Coulometric assay of selected medicinals using an arseno-amperometric end point detection technique
 AU Charles, Richard L.; Knevel, Adelbert M.
 CS Purdue Univ., Lafayette, IN
 SO Journal of Pharmaceutical Sciences (1965), 54(11), 1678-80
 CODEN: JPMSAE; ISSN: 0022-3549
 DT Journal
 LA English
 AB The coulometric generation of Br as a titrant was used as a basis for investigation of a coulometric method of analysis of selected medicinals. The reaction between Br and certain medicinal agents was too slow to permit the use of a conventional amperometric end point detection technique. A residual method combining a standardized arsenite solution with amperometry gave excellent results. Quinidine sulfate, quinine sulfate, resorcinol, Na secobarbital, sulfanilamide, and sulfaguanidine all gave relative standard deviations of <2% and relative errors of <0.5% using the arseno-amperometric end point device. The method was applied to com. dosage forms with good results. The precision and accuracy obtained indicate this method would be of value in routine analysis.

REFERENCE 3

AN 48:36822 CA
 TI Homotransplantation of skin with antihistamine-salicylate treatment
 AU Schafer, Peter
 CS Deut. Akad. Wiss., Berlin
 SO Naturwissenschaften (1953), 40, 392-3
 CODEN: NATWAY; ISSN: 0028-1042
 DT Journal
 LA Unavailable
 AB Healing of homotransplantations of skin improves 5 to 40% of the cases by simultaneous injection of both rodismine (N'-benzyl-N'-phenyl-N,N-diethylethylenediamine) and Na salicylate. There are some difficulties from inhibition of blood clotting. All expts. were made on rats.

REFERENCE 4

AN 44:35899 CA
 TI Tertiary aryl amines
 IN Miescher, Karl; Klarer, Willi
 PA Ciba Pharmaceutical Products, Inc.
 DT Patent
 LA Unavailable

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2505133		19500425	US	
AB	Tertiary arylamines are prepared by treating an N-substituted aniline or imidazoline with an alkyl or aralkyl halide. Such bases are useful therapeutically and as intermediates. PhNHCH ₂ CH ₂ NMe ₂ .HCl 20 in absolute EtOH 50 was refluxed with CH ₂ : CHCH ₂ Br 7 parts for several hrs., concentrated, the residue taken up in water, the solution made alkaline, extracted with Et ₂ O, and the Et ₂ O residue distilled to give N-allyl-N-(2-dimethylaminoethyl)aniline, b ₁₁ 138-41°; HCl salt, m. 160-1°. With the appropriate intermediates the following substituted N-benzylaniline derivs. were similarly prepared: N-(3-diethylaminopropyl), b ₁₀ 218-19° (HCl salt, m. 131-2°); N-(3-dimethylaminopropyl)-2-methoxy, b ₁₁ 208-10°				

(HCl salt, m. 151-2°); N-(2-methylaminoethyl), b13 210-12° (HCl salt, m. 174-5°), N-(2-aminoethyl), b14 206-08° (HCl salt, m. 193-4°); N-(2-diethylaminoethyl), b11 209-10°; and N-[2-(1-piperidyl)ethyl], b0.1 201-5°. Also, the following N-benzyl-N-(2-dimethylaminoethyl)aniline derivs.: HCl salt, m. 200-02°; 2-Me, b11 181-4°; 2-MeO, b11 200-06°; 4-MeO, b12 219-21°; 2-ethoxy-5-methyl, b0.1 141-3°; and 2-EtO, b10 200-3°. Also, the following derivs. of N-(2-dimethylaminoethyl)aniline; N-phenylethyl, b12 210-11°; N-(4-methoxybenzyl), b12 225-27°; and N-(3-methoxybenzyl), b12 217-18°. Similarly prepared were N-(2-diethylaminoethyl)aniline, b11 149-50°, and N-[2-(1-piperidyl)ethyl]-N-(3-methoxybenzyl)aniline, b0.8 215-18°. The following 2-[N-substituted-N-benzylaminomethyl]imidazoline-HCl derivs. were prepared in an analogous manner: (2-methoxyphenyl), m. 168-9°; (4-methoxyphenyl), m. 206-08°; (2-ethoxyphenyl), m. 187-8°; (4-ethoxyphenyl), m. 216-18°; and (1-naphthyl), m. 207-09°. Also prepared were 2-[3-(benzylphenylamino)propyl]imidazoline-HCl, m. 193-5°; and 2-[2-(benzylphenylamino)ethylamino]imidazoline-HCl, m. 115-16°.

REFERENCE 5

AN 43:2820 CA
 TI Monoaryl tertiary amines
 PA Soc. pour l'ind. chim. a Bale
 DT Patent
 LA Unavailable
 FAN.CNT 1

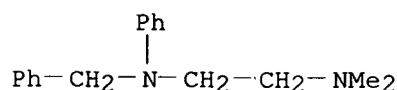
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 604363		19480702	GB	
AB	<p>Tertiary aryl amines or salts thereof are made by treating a mono-salt of a compound of the formula ANHRY, in which R is alkylene, A is aryl, and Y is a more strongly basic group than ANH-, with a reactive ester of an alc. The reaction may be carried out in the presence or absence of a diluent such as EtOH or dioxane, and also in the presence of a catalyst such as NaI, Cu powder, or Cu chloride. Thus PhNHCH2CH2NMe2.HCl 20, CH2:CHCH2Br 7, and absolute EtOH 50 parts are heated 10-12 h. on the H2O bath, the EtOH distilled, the residue dissolved in H2O, treated with alkali, extracted with Et2O, the Et2O removed, and the residue distilled to yield N-allyl-N-(2-dimethylaminoethyl)aniline, b11 138-41°; mono-HCl salt, m. 160-1°. Similarly N-(3-diethylaminopropyl)aniline-HCl (m. 122-3°, from PhNH2 and Cl(CH2)3NEt2.HCl) 24.2, PhCH2Cl 7, and absolute EtOH 100 parts boiled 10 h. yielded N-benzyl-N-(3-diethylaminopropyl)aniline, b10 218-19°; mono-HCl salt, m. 131-2°. N-(3-Dimethylaminopropyl)-o-anisidine-HCl (m. 152-3°, from Cl(CH2)3NMe2.HCl and o-anisidine) 24.4 in absolute EtOH 100 boiled with PhCH2Cl 7 parts 24 h. yields N-benzyl-N-(3-dimethylaminopropyl)-o-anisidine, b11 210°; mono-HCl salt, m. 151-2°. Analogously PhNHCH2CH2NHMe.HCl 18.6 and PhCH2Cl 7 parts gave N-benzyl-N-(2-methylaminoethyl)aniline, b13 210-12° (mono-HCl salt, m. 174-5°); PhNHCH2CH2NMe2.HCl and PhCH2Cl gave N-benzyl-N-(2-dimethylaminoethyl)aniline-HCl, m. 200-2°; PhNHCH2CH2NH2 17.2 and PhCH2Cl 7 parts gave N-benzyl-N-(2-aminoethyl)aniline, b14 206-8°; mono-HCl salt, m. 193-4°. 2-(Anilinomethyl)imidazoline-HCl 21 and PhCH2Cl 8 in EtOH 100 parts refluxed 8-10 h., solvent distilled, the residue mixed with H2O and neutralized with NaHCO3, and the precipitate filtered and recrystd. from H2O gave</p> <p>2-[(phenylbenzylamino)methyl]-imidazoline-HCl, m. 227-9°. The following compds. were prepared by analogous methods: N-(2-diethylaminoethyl)-N-ethylaniline, b11 149-50°;</p>				

N-(2-diethylaminoethyl)-N-benzylaniline, b11 209-10°. Derivs. of N-(2-dimethylaminoethyl)-N-benzylaniline: 2-Me, b11 181-4°; 2-MeO, b11 200-6°; 4-MeO, b12 219-21°; 2-ethoxy-5-Me, b0.1 141-3°; 2-EtO, b10 200-3°. Derivs. of N-(2-dimethylaminoethyl)-aniline: N-phenethyl, b12 210-11°; N-4-methoxybenzyl, b12 225-7°; N-3-methoxybenzyl, b12 217-18°. N-[2-(1-Piperidyl)ethyl]-N-benzylaniline, b0.1 201-5°; N-3-methoxybenzyl homolog, b0.8 215-18°. Derivs. of 2-(benzylaminomethyl)imidazoline-HCl: N-(2-methoxyphenyl), m. 168-9°; N-(4-methoxyphenyl), m. 206-8°; N-(2-ethoxyphenyl), m. 187-8°; N-(4-ethoxyphenyl), m. 216-18°; N-1-naphthyl, m. 207-9°. 2-[3-(Phenylbenzylamino)-propyl]imidazoline-HCl, m. 193-5°. 2-[2-(Phenylbenzylamino)ethylamino]imidazoline-HCl, m. 115-16°. Several of the intermediates employed in the preparation of the above compds. were prepared for the 1st time. A mixture of 2-(3-hydroxyanilinomethyl)imidazoline-HCl (m. 178-80°, obtained by condensing (chloromethyl)imidazoline-HCl with 3-aminophenol) 22.7, absolute EtOH 50, and PhCH₂Cl 6.7 parts are refluxed 9 h., the solvent distilled under reduced pressure, and the residue triturated with a little H₂O, filtered, and recrystd. from H₂O to give 2-(3-hydroxy-N-benzylanilinomethyl)imidazoline-HCl, colorless powder, m. 227-9°. 2-(4-Chloroanilinomethyl)imidazoline-HCl 24.6, PhCH₂Cl 8, and absolute EtOH 100 parts refluxed 12 h. give 2-(4-chloro-N-benzylanilinomethyl)imidazoline-HCl, m. 242-4°. PhNHCH₂C(:NH)NH₂.HCl 18.5 and PhCH₂Cl 14 in absolute EtOH 100 parts, heated on the H₂O bath 12 h., the EtOH distilled, the residue treated in H₂O with 4.2 parts NaHCO₃, the solution extracted with Et₂O, the aqueous solution evaporated to dryness, the residue taken up in EtOH, filtered, and evaporated, the residue extracted with Me₂CO and concentrated, and the precipitate recrystd. from Me₂CO, yield α-(N-benzylanilino)acetamidine-HCl, m. 165°. 2-(Anilinomethyl)tetrahydropyrimidine-HCl (m. 188-90° after sintering at 185°, obtained from PhNHCH₂C(:NH)NH₂.HCl with trimethylenediamine in EtOH) 22.5, PhCH₂Cl 8, and absolute EtOH 50 parts were refluxed 8 h., the solvent removed, the residue dissolved in a little H₂O, concentrated NaNO₃ solution added, the resulting nitrate treated with concentrated KOH and CH₂Cl₂, and the product recrystd. from Me₂CO to give 2-(N-benzylanilinomethyl)tetrahydropyrimidine, m. 142-3°; HCl salt, m. 212-14°. Many of the products described have therapeutic properties.

L8 ANSWER 17 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 5956-47-8 REGISTRY
 CN 1,2-Ethanediamine, N,N-dimethyl-N'-phenyl-N'-(phenylmethyl)-, compd. with 2,4,6-trinitrophenol (9CI) (CA INDEX NAME)
 OTHER CA INDEX NAMES:
 CN Ethylenediamine, N-benzyl-N',N'-dimethyl-N-phenyl-, picrate (8CI)
 OTHER NAMES:
 CN N-Benzyl-N',N'-dimethyl-N-phenylethylenediamine picrate
 MF C17 H22 N2 . x C6 H3 N3 O7
 LC STN Files: BEILSTEIN*, CA, CAPLUS
 (*File contains numerically searchable property data)
 DT.CA Caplus document type: Journal
 RL.NP Roles from non-patents: NORL (No role in record)

CM 1

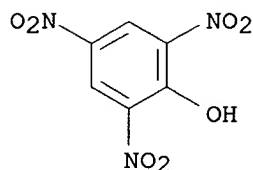
CRN 961-71-7
 CMF C17 H22 N2



CM 2

CRN 88-89-1

CMF C6 H3 N3 O7



1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1

AN 49:11823 CA

TI A novel N-alkylation reaction

AU Kaye, Irving Allan; Parris, Chester L.; Weiner, Nathan

CS Brooklyn Coll., Brooklyn, NY

SO Journal of the American Chemical Society (1953), 75, 744-5

CODEN: JACSAT; ISSN: 0002-7863

DT Journal

LA Unavailable

AB cf. C.A. 44, 5880a. Attempts to prepare the thiophene analog (I) of Antergan (II), $\text{PhCH}_2\text{NPhCH}_2\text{CH}_2\text{NMe}_2$, by previous methods were unsuccessful. Since N-benzyl-N-(2-thienyl)-acetamide (III) is obtained in good yield by heating 2-acetamidothiophene (IV) and PhCH_2Cl in PhMe containing LiNH_2 , a method was sought whereby III could be converted directly to I without the isolation of the unstable 2-(benzylamino)-thiophene. PhCH_2NHPH (9.2 g.), 8.7 g. $\text{Me}_2\text{NCH}_2\text{CH}_2\text{-Cl.HCl}$ (V), 2.8 g. 98% LiNH_2 , and 100 cc. C_6H_6 refluxed 25 hrs., the mixture filtered, and the filtrate distilled in vacuo yielded 11.8 g. II, b2 159-63°; picrate (VI), m. 149-50°. MeMgI (from 8.5 g. Mg and 49.7 g. MeI) in 200 cc. Et_2O treated portionwise with 21.3 g. $\text{PhCH}_2\text{NPhAc}$, the mixture refluxed 1.5 hrs., chilled, treated portionwise with 21.6 g. V, refluxed 2 hrs., treated with 55 cc. saturated NH_4Cl , filtered, and the filtrate distilled in vacuo yielded 13.8 g. II, b0.05 98-107°; VI, m. 147.5-49°. III b0.4 133-7°. An attempt to prepare I by the method used for II in an atmospheric of N yielded

12.1

g. of a product b0.08 118-22°. No salt could be prepared which was stable in air. Analysis showed that the product was not the desired I.

L8 ANSWER 18 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN

RN 5956-46-7 REGISTRY

CN 1,2-Ethanediamine, N,N-dimethyl-N'-phenyl-N'-(phenylmethyl)-, 2-hydroxy-1,2,3-propanetricarboxylate (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Ethylenediamine, N-benzyl-N',N'-dimethyl-N-phenyl-, citrate (8CI)

OTHER NAMES:

CN N-Benzyl-N',N'-dimethyl-N-phenylethylenediamine citrate

MF C17 H22 N2 . x C6 H8 O7

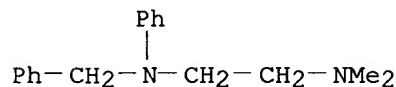
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CRN 961-71-7

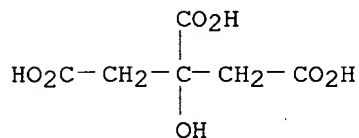
CMF C17 H22 N2



CM 2

CRN 77-92-9

CMF C6 H8 O7



L8 ANSWER 19 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN

RN 2045-52-5 REGISTRY

CN 1,2-Ethanediamine, N,N-dimethyl-N'-phenyl-N'-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Ethylenediamine, N-benzyl-N',N'-dimethyl-N-phenyl-, hydrochloride (7CI, 8CI)

OTHER NAMES:

CN Antergan hydrochloride

CN N-Benzyl-N',N'-dimethyl-N-phenylethylenediamine hydrochloride

CN Phenbenzamine chloride

CN Phenbenzamine hydrochloride

MF C17 H22 N2 . Cl H

LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS, CHEMCATS, CSCHEM, RTECS*, TOXCENTER

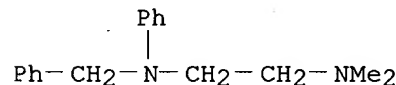
(*File contains numerically searchable property data)

DT.CA CAPlus document type: Journal; Patent

RL.P Roles from patents: NORL (No role in record)

RL.NP Roles from non-patents: BIOL (Biological study); NORL (No role in record)

CRN (961-71-7)



● HCl

17 REFERENCES IN FILE CA (1907 TO DATE)

17 REFERENCES IN FILE CAPLUS (1907 TO DATE)

2 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1

AN 140:24222 CA
 TI A multiple in silico program approach for the prediction of mutagenicity from chemical structure
 AU White, Anita C.; Mueller, Richard A.; Gallavan, Robert H.; Aaron, Sid; Wilson, Alan G. E.
 CS Department of Preclinical Development, Pharmacia Corporation, St. Louis, MO, 63167, USA
 SO Mutation Research (2003), 539(1-2), 77-89
 CODEN: MUREAV; ISSN: 0027-5107
 PB Elsevier Science B.V.
 DT Journal
 LA English
 AB We have conducted an evaluation of three of the most widely used com. toxicity prediction programs, Toxicity Prediction by Komputer Assisted Technol. (TOPKAT), Deductive Estimation of Risk from Existing Knowledge (DEREK) for Windows (DfW) and CASETOX. The three programs were evaluated for their ability to predict Ames test mutagenicity using 520 proprietary drug candidate (Test set 1) and 94 com. (Test set 2) compds. The study demonstrates that these three com. available programs are useful, with limitations in their ability to predict mutagenicity over a wide range of chemical space, i.e. global predictivity. Individually, each of the programs performed at an acceptable level for overall accuracy, i.e. the ability to predict the correct outcome. However, anal. of the predictions indicates that the overall accuracy figure is heavily weighted by the ability of the programs to correctly predict non-mutagens, whereas none of the programs individually performed well in the prediction of novel mutagenic structures, i.e. Ames pos. compds. The performance of these programs' in predicting Ames pos. mutagens appeared to be independent of the chemical utility of the compound, i.e. industrial, agricultural or pharmaceutical. The combination of program predictions provided some improvement in overall accuracy, sensitivity and specificity.
 RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

REFERENCE 2

AN 107:34851 CA
 TI Salmonella mutagenicity tests: III. Results from the testing of 255 chemicals
 AU Zeiger, Errol; Anderson, Beth; Haworth, Steve; Lawlor, Timothy; Mortelmans, Kristien; Speck, William
 CS Cell. Genet. Toxicol. Branch, Natl. Inst. Environ. Health Sci., Research Triangle Park, NC, USA
 SO Environmental Mutagenesis (1987), 9(Suppl. 9), 1-109
 CODEN: ENMUDM; ISSN: 0192-2521
 DT Journal
 LA English
 AB The results and data from the testing of 255 chems. for mutagenicity in Salmonella are presented. All chems. were tested under code using a preincubation modification of the Salmonella/microsome test in the absence of exogenous metabolic activation and in the presence of liver S-9 from Aroclor-induced male Sprague-Dawley rats and Syrian hamsters.

REFERENCE 3

AN 63:70895 CA
 TI Antiallergic drug
 PA Societe Anon. des Produits Berthiot.

SO 3 pp.
DT Patent
LA Unavailable
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	FR CAM63		19650215	FR	19630208
AB	This addition concerns a change introduced to the main patent, substituting, without changing the properties of the drug first obtained, the promethazine by another synthetic antihistamine, especially one of the following: N-(β -dimethylaminoethyl)-N-benzylaniline, especially as the hydrochloride; N-(p-methoxybenzyl)-N-(β -dimethylaminoethyl)- α -aminopyridine, or mepyramine, especially as the acid maleate or the hydrochloride; 2-(N-phenyl-N-benzylaminomethyl)-2-imidazoline, or antazoline, especially as the methosulfate; N,N-dimethyl-N'-benzyl-N'-(α -pyridyl)ethylenediamine or tripelennamine, especially as the hydrochloride; β -dimethylaminoethyl ether of benzhydrol, or diphenhydramine, as the methosulfate; 2-methyl-9-phenyl-2,3,4,9-tetrahydro-1-pyridindene, or phenindamine, especially as the acid tartrate; p-chlorobenzhydryl-1-(m-methylbenzyl)-4-piperazine, or histametizyne, especially as the hydrochloride; p-chlorobenzhydryl-1-(p-tert-butylbenzyl)-4-piperazine, or histabutizine, especially as the hydrochloride.				

REFERENCE 4

AN 62:54196 CA
TI Spontaneous activity with a modified squirrel wheel technique with special regards to screening and follow-up studies of sedative drugs
AU Strom, Sven
CS Roy. Pharm. Inst., Stockholm
SO Acta Pharm. Suecica (1964), No. 1, 110 pp.
DT Journal
LA English
AB Extensive investigation using 6000 male albino mice in a specially designed squirrel wheel device produced a method for screening and for quant. studies of substances with central depressive effects. Using standard statistical methods, studies were made of spontaneous activity, administering varied doses of drugs and comparing results obtained with placebos. The following values for L.D.50 were obtained (mg./kg. body weight): chloral hydrate 1099; EtOH 7500; methylpentynol 744; bromural 1238; carbromal 1165; ectylurea 1000; phenylcrotonylurea 1000; hexobarbital Na 372; amobarbital 828; phenobarbital Na 248; glutethimide 485; thalidomide 1000; reserpine 1000; chlorpromazine chloride 485; haloperidol 217; meprobamate .apprx.2000; emylcamate >1000; imipramine chloride 324; iproniazid 1069; promethazine chloride 315; phenbenzamine chloride 269; morphine sulfate 1500; acetylsalicylic acid .apprx.1700; phenazone >1000; amphetamine sulfate 41; caffeine Na salicylate 522. The modified squirrel wheel method used for determination of spontaneous activity differs from the most commonly used squirrel wheel in that the animals do not necessarily have to be inside the wheel but have the possibility of jumping into or out of the wheel voluntarily. Different expts. Were carried out having 1 or 2 animals in each cage. The revolutions were registered elec. with 2 comptometers for each wheel. The studies of activity took place during nocturnal activity, which is the active period for mice; this was found to give more reliable results statistically than diurnal activity.

REFERENCE 5

AN 48:66067 CA
TI The development of antihistaminics and central damping agents
AU Mietzsch, Fritz

CS Farbenfabriken Bayer A.-G., Elberfeld, Germany
 SO Angew. Chem. (1954), 66, 363-71
 DT Journal
 LA Unavailable
 AB A comprehensive review covering: action, chemistry, and preparation of antihistaminics; phenothiazine derivs. as central damping agents; physiol. action; and chemistry of phenothiazines. 50 references.

REFERENCE 6

AN 48:66066 CA
 TI Microscopic tests for alkaloids and synthetics
 AU Eisenberg, Wm. V.
 CS Food & Drug Admin., Washington, DC
 SO Journal of the Association of Official Agricultural Chemists (1954), 37, 705-12
 CODEN: JOACAZ; ISSN: 0095-9111
 DT Journal
 LA Unavailable
 AB Optical-crystallographic properties of 21 antihistamine drugs and 21 sympathomimetic amines are listed together with the same properties of the barbiturates and sulfonamides reported previously (C.A. 48, 10301e) with some addns.

REFERENCE 7

AN 48:11274 CA
 TI Monobasic salt of a secondary amine
 IN Kyrides, Lucas P.; Zienty, Ferdinand B.
 PA Monsanto Chemical Co.
 DT Patent
 LA Unavailable

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2634293		19530407	US	
AB	The monobasic salt of RNH(CH ₂) ₂ NMe ₂ (I) is prepared by heating I and NH ₄ Cl in an organic solvent containing a small amount H ₂ O, and heating this salt with R'X in an organic solvent 4-6 hrs. at 65-70° to give the tertiary amine, RR'N(CH ₂) ₂ NMe ₂ . Thus, to MeNHCH ₂ CH ₂ NMePh 26.6 g. (0.162 mole) and 100 cc. C ₆ H ₆ is added 8.7 g. (0.162 mole) NH ₄ Cl and 0.5 cc. H ₂ O, the mixture refluxed 10 hrs., cooled to 30°, 10.7 g. (0.181 mole) 2-thenyl chloride in 35 cc. C ₆ H ₆ added, this mixture heated 5.5 hrs. at 65-70°, 40 g. 50% NaOH in 40 cc. H ₂ O added, the mixture stirred vigorously 1 hr. at 55-60°, and the C ₆ H ₆ layer separated and distilled to give 7.0 g. N-phenyl-N-(2-thenyl)-N',N'-dimethylethylenediamine, b ₈ 185-8°; HCl salt, m. 183-4° (corrected). Similarly prepared are the following N',N'-dimethylethylenediamines: N-benzyl-N-phenyl, b ₇ 179-80° [HCl salt, m. 210-11° (corrected)]; N-benzyl-N-cyclohexyl (disulfate); and N-benzyl-N-ethyl. Also N-phenyl-N-(2-thenyl)-N',N'-diethylethylenediamine, b ₁ -1.5 143-5°; di-HCl salt, m. 144-6° (corrected).				

REFERENCE 8

AN 44:58180 CA
 TI Microtoxicology. VIII. Optical crystallographic properties and microchemical reactions of several long-acting antihistaminic drugs
 AU Haley, Thomas J.; Keenan, George L.
 CS Univ. of California, Los Angeles
 SO Journal of the American Pharmaceutical Association (1912-1977) (1950), 39,

526-32

CODEN: JPHAA3; ISSN: 0003-0465

DT Journal

LA Unavailable

AB cf. C.A. 44, 6575b. Microchem. tests were applied to and the optical crystallographic properties determined of thenfanil, chloro trimeton, p-fluorobenzyl D.P.E., perazil, AH-289 Abbott, AH-853 Parke Davis, anthallan, phenergan, Lilly-01798, Lilly-01003, C-5581-H Bristol, and antergan. While the microchem. tests are useful, the optical crystallographic data offer the best means for the rapid identification of these compds.

REFERENCE 9

AN 44:35899 CA

TI Tertiary aryl amines

IN Miescher, Karl; Klarer, Willi

PA Ciba Pharmaceutical Products, Inc.

DT Patent

LA Unavailable

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	---	-----	-----	-----
PI	US 2505133		19500425	US	
AB	Tertiary arylamines are prepared by treating an N-substituted aniline or imidazoline with an alkyl or aralkyl halide. Such bases are useful therapeutically and as intermediates. PhNHCH ₂ CH ₂ NMe ₂ .HCl 20 in absolute EtOH 50 was refluxed with CH ₂ : CHCH ₂ Br 7 parts for several hrs., concentrated, the residue taken up in water, the solution made alkaline, extracted with Et ₂ O, and the Et ₂ O residue distilled to give N-allyl-N-(2-dimethylaminoethyl)aniline, b ₁₁ 138-41°; HCl salt, m. 160-1°. With the appropriate intermediates the following substituted N-benzylaniline derivs. were similarly prepared: N-(3-diethylaminopropyl), b ₁₀ 218-19° (HCl salt, m. 131-2°); N-(3-dimethylaminopropyl)-2-methoxy, b ₁₁ 208-10° (HCl salt, m. 151-2°); N-(2-methylaminoethyl), b ₁₃ 210-12° (HCl salt, m. 174-5°); N-(2-aminoethyl), b ₁₄ 206-08° (HCl salt, m. 193-4°); N-(2-diethylaminoethyl), b ₁₁ 209-10°; and N-[2-(1-piperidyl)ethyl], b _{0.1} 201-5°. Also, the following N-benzyl-N-(2-dimethylaminoethyl)aniline derivs.: HCl salt, m. 200-02°; 2-Me, b ₁₁ 181-4°; 2-MeO, b ₁₁ 200-06°; 4-MeO, b ₁₂ 219-21°; 2-ethoxy-5-methyl, b _{0.1} 141-3°; and 2-EtO, b ₁₀ 200-3°. Also, the following derivs. of N-(2-dimethylaminoethyl)aniline; N-phenylethyl, b ₁₂ 210-11°; N-(4-methoxybenzyl), b ₁₂ 225-27°; and N-(3-methoxybenzyl), b ₁₂ 217-18°. Similarly prepared were N-(2-diethylaminoethyl)aniline, b ₁₁ 149-50°, and N-[2-(1-piperidyl)ethyl]-N-(3-methoxybenzyl)aniline, b _{0.8} 215-18°. The following 2-[N-substituted-N-benzylaminomethyl]imidazoline-HCl derivs. were prepared in an analogous manner: (2-methoxyphenyl), m. 168-9°; (4-methoxyphenyl), m. 206-08°; (2-ethoxyphenyl), m. 187-8°; (4-ethoxyphenyl), m. 216-18°; and (1-naphthyl), m. 207-09°. Also prepared were 2-[3-(benzylphenylamino)propyl]imidazoline-HCl, m. 193-5°; and 2-[2-(benzylphenylamino) ethylamino]imidazoline-HCl, m. 115-16°.				

REFERENCE 10

AN 43:15340 CA

TI Tertiary amines

IN Kyrides, Lucas P.; Zienty, Ferdinand B.

PA Monsanto Chemical Co.

DT Patent

LA Unavailable

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2457048		19481221	US	
AB	RR'NCH2CH2NMe2 are described in which R is arylmethyl or thenyl and R' is aryl, alkyl, cycloalkyl, arylmethyl, or thenyl. PhNHCH2CH2NMe2 was converted to its HCl salt by refluxing with NH4Cl in C6H6-H2O and the HCl salt caused to react with 2-thenyl chloride 5.5 hrs. at 65-70°, giving N-phenyl-N-2-thenyl-N',N'-dimethylethylenediamine, b8 185-8°; HCl salt, m. 183-4°. Similarly PhNHCH2CH2NEt2 caused to react with 2-thenyl chloride in BuOH-C6H6 19 hrs. at 25° gave N-phenyl-N-2-thenyl-N',N'-diethylethylenediamine, b1-1.5 143-5°; HCl salt, m. 144-6°. Also prepared were the N-benzyl-N-phenyl, b7 179-80° (HCl salt, m. 210-11°), oily N-benzyl-N-ethyl, and oily N-benzyl-N-cyclohexyl derivs. of N',N'-dimethylethylenediamine.				

L8 ANSWER 20 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN

RN 961-71-7 REGISTRY

CN 1,2-Ethanediamine, N,N-dimethyl-N'-phenyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Ethylenediamine, N-benzyl-N',N'-dimethyl-N-phenyl- (7CI, 8CI)

OTHER NAMES:

CN 2339 RP

CN Antergan

CN Bridal

CN Dimetina

CN Lergitin

CN N-Benzyl-N',N'-dimethyl-N-phenylethylenediamine

CN Phenbenzamine

CN PM 245

FS 3D CONCORD

MF C17 H22 N2

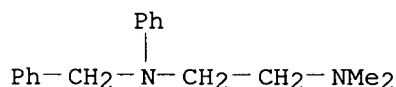
CI COM

LC STN Files: BEILSTEIN*, BIOBUSINESS, BIOSIS, CA, CAOLD, CAPLUS, CASREACT, DDFU, DRUGU, EMBASE, HODOC*, IPA, PIRA, RTECS*, TOXCENTER, USPATFULL
(*File contains numerically searchable property data)

DT.CA CAplus document type: Journal; Patent

RL.P Roles from patents: BIOL (Biological study); RACT (Reactant or reagent); USES (Uses); NORL (No role in record)

RL.NP Roles from non-patents: BIOL (Biological study); PRP (Properties); RACT (Reactant or reagent); USES (Uses); NORL (No role in record)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

167 REFERENCES IN FILE CA (1907 TO DATE)

167 REFERENCES IN FILE CAPLUS (1907 TO DATE)

3 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1

AN 141:254710 CA

TI G-protein coupled receptors: SAR analyses of neurotransmitters and

antagonists

AU Kuo, C. L.; Wang, R. B.; Shen, L. J.; Lien, L. L.; Lien, E. J.

CS School of Pharmacy, University of Southern California, Los Angeles, CA, USA

SO Journal of Clinical Pharmacy and Therapeutics (2004), 29(3), 279-298
CODEN: JCPTED; ISSN: 0269-4727

PB Blackwell Publishing Ltd.

DT Journal

LA English

AB Background: From the deductive point of view, neurotransmitter receptors can be divided into categories such as cholinergic (muscarinic, nicotinic), adrenergic (α - and β -), dopaminergic, serotonergic (5-HT₁ approx. 5-HT₅), and histaminergic (H₁ and H₂). Selective agonists and antagonists of each receptor subtype can have specific useful therapeutic applications. For understanding the mol. mechanisms of action, an inductive method of anal. is useful. Objective: The aim of the present study is to examine the structure-activity relationships of agents acting on G-protein coupled receptors. Method: Representative sets of G-PCR agonists and antagonists were identified from the literature and Medline [P.M. Walsh (2003) Physicians' desk reference; M.J. O'Neil (2001) The Merck index]. The mol. weight (MW), calculated logarithm of octanol/water partition coefficient (C log P) and molar refraction (CMR), dipole moment (DM), Elumo (the energy of the LUMO, a measure of the electron affinity of a mol. and its reactivity as an electrophile), Ehomo (the energy of the HOMO, related to the ionization potential of a mol., and its reactivity as a nucleophile), and the total number of hydrogen bonds (Hb) (donors and receptors), were chosen as mol. descriptors for SAR analyses. Results: The data suggest that not only do neurotransmitters share common structural features but their receptors belong to the same ensemble of G-protein coupled receptor with seven to eight transmembrane domains with their resultant dipoles in an antiparallel configuration. Moreover, the anal. indicates that the receptor exists in a dynamic equilibrium between the closed state and the open state. The energy needed to open the closed state is provided by the hydrolysis of GTP. A composite 3-D parameter frame setting of all the neurotransmitter agonists and antagonists are presented using MW, Hb and μ as independent variables. Conclusion: It appears that all neurotransmitters examined in this study operate by a similar mechanism with the G-protein coupled receptors.

RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

REFERENCE 2

AN 134:25113 CA

TI Classification of multidrug-resistance reversal agents using structure-based descriptors and linear discriminant analysis

AU Bakken, Gregory A.; Jurs, Peter C.

CS Department of Chemistry, The Pennsylvania State University, University Park, PA, 16802, USA

SO Journal of Medicinal Chemistry (2000), 43(23), 4534-4541
CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

AB Linear discriminant anal. is used to generate models to classify multidrug-resistance reversal agents based on activity. Models are generated and evaluated using multidrug-resistance reversal activity values for 609 compds. measured using adriamycin-resistant P388 murine leukemia cells. Structure-based descriptors numerically encode mol. features which are used in model formation. Two types of models are generated: one type to classify compds. as inactive, moderately active, and active (three-class problem) and one type to classify compds. as

inactive or active without considering the moderately active class (two-class problem). Two activity distributions are considered, where the separation between inactive and active compds. is different. When the separation between inactive and active classes is small, a model based on nine topol. descriptors is developed that produces a classification rate of 83.1% correct for an external prediction set. Larger separation between active and inactive classes raises the prediction set classification rate to 92.0% correct using a model with six topol. descriptors. Models are further validated through Monte Carlo expts. in which models are generated after class labels have been scrambled. The classification rates achieved demonstrate that the models developed could serve as a screening mechanism to identify potentially useful multidrug-resistance reversal (MDRR) agents from large libraries of compds.

RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

REFERENCE 3

AN 133:301171 CA
TI Compositions and methods for improved delivery of ionizable hydrophobic therapeutic agents
IN Chen, Feng-jing; Patel, Manesh V.
PA Lipocine, Inc., USA
SO PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000059475	A1	20001012	WO 2000-US7342	20000316
<p>W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM</p> <p>RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG</p>				
US 6383471	B1	20020507	US 1999-287043	19990406
CA 2366702	AA	20001012	CA 2000-2366702	20000316
EP 1165048	A1	20020102	EP 2000-916547	20000316
<p>R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO</p>				

PRAI US 1999-287043 19990406
WO 2000-US7342 20000316

AB The present invention is directed to a pharmaceutical composition including a hydrophobic therapeutic agent having at least one ionizable functional group, and a carrier. The carrier includes an ionizing agent capable of ionizing the functional group, a surfactant, and optionally solubilizers, triglycerides, and neutralizing agents. The invention further relates to a method of preparing such compns. by providing a composition of an ionizable hydrophobic therapeutic agent, an ionizing agent, and a surfactant, and neutralizing a portion of the ionizing agent with a neutralizing agent. The compns. of the invention are particularly suitable for use in oral dosage forms. A carrier containing concentrated phosphoric acid 0.025,

Tween-20

0.3, Arlacel 186 0.2, sodium taurocholate 0.15, propylene glycol 0.3 g was formulated. Itraconazole was included in the carrier at 30 mg/mL for testing the stability of the itraconazole solution upon dilution in simulated

gastric fluid.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

REFERENCE 4.

AN 130:71591 CA
TI Dermal adhesive plaster suitable for treating localized cutaneous affections
IN Bocchialini, Bianca Maria; Catellani, Pier Luigi; Colombo, Paolo; Santi, Patrizia; Zagnoli, Giorgio
PA Laboratorio Italiano Biochimico Farmaceutico Lisapharma S.p.A., Italy
SO PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9858685	A1	19981230	WO 1998-EP3796	19980622
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	AU 9886289	A1	19990104	AU 1998-86289	19980622
PRAI	IT 1997-MI1475		19970623		
	WO 1998-EP3796		19980622		
AB	Dermal adhesive plaster having high mech. strength, flexibility, transparency and elec. conductivity, comprises (a) a backing layer containing a polymer insol. in water, a thickening agent, and a humectant; (b) an adhesive layer that may possibly act as a depot for the active principle containing an adhesive polymer and a humectant, characterized in that both the backing layer and the adhesive layer contain an electrolyte. The plaster may be used in the treatment of localized cutaneous affections that require a prompt availability of the active principle, with permanence of the same in the site of action. The cutaneous affections may include local inflammation and infected cutaneous ulcerations. A dispersion containing Plastoid E35L 70, promethazine·HCl 1, NaCl 0.2, sorbitol 0.9, and H2O 27.9 % was spread over a film. After drying, it was adhered to a protective liner to obtain a sheet consisting of liner, adhesive layer, and backing layer, out of which round plasters were cut.				

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

REFERENCE 5

AN 122:305842 CA
TI Reversal of multidrug resistance by bis(phenylalkyl)amines and structurally related compounds
AU Ramu, Avner; Ramu, Nili
CS Department Oncology, Hadassah University Hospital, Jerusalem, 91120, Israel
SO Cancer Chemotherapy and Pharmacology (1994), 34(5), 423-30
CODEN: CCPHDZ; ISSN: 0344-5704
DT Journal
LA English
AB We have previously reported that multidrug (MDR)-reversal activity can be exerted by compds. in which two ring structures of certain types are

connected by one alkyl bridge to a secondary or tertiary amine group. In the present investigation we studied the MDR-reversal activity of compds. in which the two ring structure were connected by sep. alkyl bridges to the amine group. The structure-activity relationship of these compds. verified previous findings on the structural features that support MDR-reversal activity as well as the features that reduce such activity. In addition, the present study reveals addnl. chemical groups and ring structures that support MDR-reversal activity as well as those that reduce it.

REFERENCE 6

AN 109:128221 CA
 TI Optimization of biomimetic oxidation reactions involving the iodosylbenzene-meso-tetraphenylporphinatoiron(III) chloride system: application to antergan
 AU Pautet, F.; Barret, R.; Daudon, M.
 CS Lab. Chim. Org., Fac. Pharm., Lyon, 69373/08, Fr.
 SO Pharmaceutica Acta Helvetiae (1988), 63(4-5), 140-4
 CODEN: PAHEAA; ISSN: 0031-6865
 DT Journal
 LA French
 AB The oxidative debenzylation of PhCH₂NMe₂ with PhIO and meso-tetraphenylporphinatoiron(III) chloride was used as a model reaction, prior to application to antergan (PhCH₂NPhCH₂CH₂NMe₂), for exploratory evaluation of various parameters. In the model reaction, the yields of oxidative products (mainly PhCHO) show low dependence on the catalyst-oxidant ratios within the range 1:40 to 1:640. The best kinetic results were obtained with the 1:40 ratio. Application of this reaction to the oxidative dealkylation of antergan led to the recognition of predictable metabolites.

REFERENCE 7

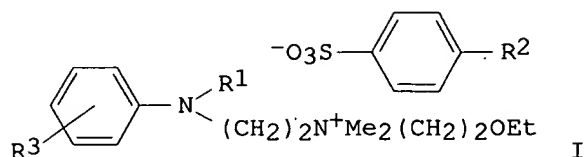
AN 108:21413 CA
 TI Biomimetic oxidation of Antergan by iodoxybenzene
 AU Barret, R.; Pautet, F.; Daudon, M.
 CS Lab. Chim. Org., Fac. Pharm., Lyon, Fr.
 SO Pharmazie (1987), 42(2), 132
 CODEN: PHARAT; ISSN: 0031-7144
 DT Journal
 LA French
 AB Oxidation of PhNMeCH₂Ph by the biomimetic oxidation system PhIO₂-VO(acac)₂ gave the demethylated product PhNHCH₂Ph (I) and the debenzylated product PhNHMe (II). Demethylation occurred faster than did debenzylation. I and II underwent further dealkylations to give a complex mixture of products. Similar oxidation of Antergan (PhCH₂NPhCH₂CH₂NMe₂) gave mainly demethylation, as well as debenzylation.

REFERENCE 8

AN 106:20003 CA
 TI Quaternary [(arylamino)alkyl]ammonium salts
 IN Noack, Horst; Knoechel, Gerhard; Guhl, Elke; Shlykov, Yu.
 PA VEB Chemiekombinat Bitterfeld, Ger. Dem. Rep.
 SO Ger. (East), 7 pp.
 CODEN: GEXXA8
 DT Patent
 LA German
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI DD 227131 A1 19850911 DD 1984-268245 19841010
 PRAI DD 1984-268245 19841010
 GI



AB Quaternary salts I (R1 = C1-4 alkyl, CH2Ph, CH2CH2CN; R2 = H, Me; R3 = H, C1-4 alkyl, MeO, AcNH, EtCONH) are useful as intermediates in the synthesis of dyes. They are prepared by reacting tertiary aryl amines with ethoxyethyl arenesulfonates at 80-90°. Thus, PhNEtCH2CH2NMe2 was mixed with PhSO3CH2CH2OEt at 90°. Upon cooling, I (R1 = Et, R2 = R3 = H) crystallized from the reaction mixture

REFERENCE 9

AN 104:39720 CA
 TI Pharmaceutical compositions containing unilamellar liposomes
 IN Muntwyler, Rene; Hauser, Helmut
 PA Ciba-Geigy A.-G., Switz.
 SO Eur. Pat. Appl., 65 pp.
 CODEN: EPXXDW
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 152379	A2	19850821	EP 1985-810050	19850211
	EP 152379	A3	19861029		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	ES 540372	A1	19860601	ES 1985-540372	19850213
	CA 1246446	A1	19881213	CA 1985-474204	19850213
	DK 8500685	A	19850816	DK 1985-685	19850214
	AU 8538753	A1	19850822	AU 1985-38753	19850214
	AU 588798	B2	19890928		
	ZA 8501111	A	19850925	ZA 1985-1111	19850214
	JP 60190710	A2	19850928	JP 1985-26616	19850215
PRAI	CH 1984-736		19840215		

AB Aqueous pharmaceutical dispersions made of unilamellar liposomes containing an amphipathic drug and a phospholipid are given. The amphipathic drugs are quaternary ammonium compds., compds. convertible into quaternary ammonium derivs. by salt formation, α -amino acids, phosphonic acid esters, etc. Thus, 50 mg soybean lecithin was added to 20.33 mg 1-isopropylamino-3-(2-pyrrol-1-ylphenoxy)propan-2-ol-HCl [99740-06-4] in 30 mL MeOH-CHCl3 (1:1) in a vial. The vial was rotated, and the film which formed was treated with 1.5 mL H2O to give a dispersion of unilamellar liposomes.

REFERENCE 10

AN 98:946 CA
 TI Effect of central nervous system acting drugs on brain cell replication in vitro
 AU Barochovsky, Olga; Patel, Ambrish J.
 CS Inst. Neurol., MRC, London, WC1N 2NS, UK

SO Neurochemical Research (1982), 7(9), 1059-74
CODEN: NEREDZ; ISSN: 0364-3190

DT Journal

LA English

AB The role of neurotransmitters in the regulation of cell replication and drugs which affect their balance were studied in vitro, using morphol. preserved rat brain slices. Compds. affecting noradrenergic, dopaminergic, and serotonergic neurotransmitter systems reduced the brain cell replication, measured in terms of the rate of [3H]thymidine incorporation into DNA. The reduction was dose-dependent and half-maximal effects were obtained at about $1-5 \times 10^{-4}$ M. concns. Although agonists and antagonists both showed similar inhibitory effects, the action of agonists was reversed by the appropriate antagonists. The pharmacol. active isomers were several-fold more effective than the inactive isomers in forebrain slices, although with cerebellar slices the selectivity was less marked. Cyclic nucleotides and drugs affecting cholinergic neurotransmitter systems were apparently ineffective. Apparently, monoamines may be involved in the regulation of cell replication in the developing brain. As some of the central nervous system acting drugs tested are suspected behavioral teratogens the results suggest that the reported behavioral abnormalities in the offspring may be related, in part, to a chronol. determined interference with the formation of certain cell types.

=> file uspatful

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

6.30

453.70

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

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-10.88

FILE 'USPATFULL' ENTERED AT 08:33:13 ON 01 FEB 2005

CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 27 Jan 2005 (20050127/PD)

FILE LAST UPDATED: 27 Jan 2005 (20050127/ED)

HIGHEST GRANTED PATENT NUMBER: US6848117

HIGHEST APPLICATION PUBLICATION NUMBER: US2005022281

CA INDEXING IS CURRENT THROUGH 27 Jan 2005 (20050127/UPCA)

ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 27 Jan 2005 (20050127/PD)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2004

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2004

>>> USPAT2 is now available. USPATFULL contains full text of the <<<
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>>> applications. USPAT2 contains full text of the latest US <<<
>>> publications, starting in 2001, for the inventions covered in <<<
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>>> published document but also a list of any subsequent <<<
>>> publications. The publication number, patent kind code, and <<<
>>> publication date for all the US publications for an invention <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<
>>> records and may be searched in standard search fields, e.g., /PN, <<<
>>> /PK, etc. <<<

>>> USPATFULL and USPAT2 can be accessed and searched together <<<
>>> through the new cluster USPATALL. Type FILE USPATALL to <<<
>>> enter this cluster. <<<
>>> <<<

>>> Use USPATALL when searching terms such as patent assignees, <<<
>>> classifications, or claims, that may potentially change from <<<
>>> the earliest to the latest publication. <<<

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> d his

(FILE 'HOME' ENTERED AT 08:13:42 ON 01 FEB 2005)

FILE 'REGISTRY' ENTERED AT 08:13:58 ON 01 FEB 2005

L1 STRUCTURE UPLOADED
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L3 1 S L1 CSS FUL

FILE 'REGISTRY' ENTERED AT 08:15:21 ON 01 FEB 2005

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L4 1 S E1/RN
SET TERMSET LOGIN

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L5 1 S L4

FILE 'BEILSTEIN' ENTERED AT 08:15:53 ON 01 FEB 2005

L6 2 S L1 CSS FUL

FILE 'REGISTRY' ENTERED AT 08:22:51 ON 01 FEB 2005

L7 STRUCTURE UPLOADED
L8 20 S L7 CSS FUL

FILE 'CAPLUS' ENTERED AT 08:23:32 ON 01 FEB 2005

L9 195 S L8

FILE 'REGISTRY' ENTERED AT 08:24:34 ON 01 FEB 2005

FILE 'CAPLUS' ENTERED AT 08:24:46 ON 01 FEB 2005

FILE 'USPATFULL' ENTERED AT 08:33:13 ON 01 FEB 2005

=> s 19

L10 1 L8

=> d bib abs hitstr

L10 ANSWER 1 OF 1 USPATFULL on STN

AN 2002:102031 USPATFULL

TI Compositions and methods for improved delivery of ionizable hydrophobic
therapeutic agents

IN Chen, Feng-Jing, Salt Lake City, UT, United States

Patel, Mahesh V., Salt Lake City, UT, United States

PA Lipocine, Inc., Salt Lake City, UT, United States (U.S. corporation)

PI US 6383471 B1 20020507

AI US 1999-287043 19990406 (9)

DT Utility

FS GRANTED

EXNAM Primary Examiner: Bawa, Raj

LREP Reed, Dianne E., Reed & Associates

CLMN Number of Claims: 114

ECL Exemplary Claim: 1

DRWN 0 Drawing Figure(s); 0 Drawing Page(s)

LN.CNT 3051

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention is directed to a pharmaceutical composition including a hydrophobic therapeutic agent having at least one ionizable functional group, and a carrier. The carrier includes an ionizing agent capable of ionizing the functional group, a surfactant, and optionally solubilizers, triglycerides, and neutralizing agents. The invention further relates to a method of preparing such compositions by providing a composition of an ionizable hydrophobic therapeutic agent, an ionizing agent, and a surfactant, and neutralizing a portion of the ionizing agent with a neutralizing agent. The compositions of the invention are particularly suitable for use in oral dosage forms.

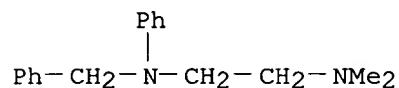
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 961-71-7, Phenbenzamine

(pharmaceutical compns. containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

RN 961-71-7 USPATFULL

CN 1,2-Ethanediamine, N,N-dimethyl-N'-phenyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)



=>

> d his

(FILE 'HOME' ENTERED AT 13:48:21 ON 01 FEB 2005)

FILE 'REGISTRY' ENTERED AT 13:48:28 ON 01 FEB 2005

L1 STRUCTURE UPLOADED
L2 4 S L1
L3 0 S L1 CSS
L4 3 S L1 CSS FUL
L5 SCREEN 1929 OR 2021 OR 2016 OR 2004 OR 1994
L6 STRUCTURE UPLOADED
L7 QUE L6 NOT L5
L8 1 S L7 CSS
L9 14 S CSS L7 FUL

FILE 'CAPLUS' ENTERED AT 13:52:29 ON 01 FEB 2005

L10 271 S L9

FILE 'REGISTRY' ENTERED AT 13:52:53 ON 01 FEB 2005

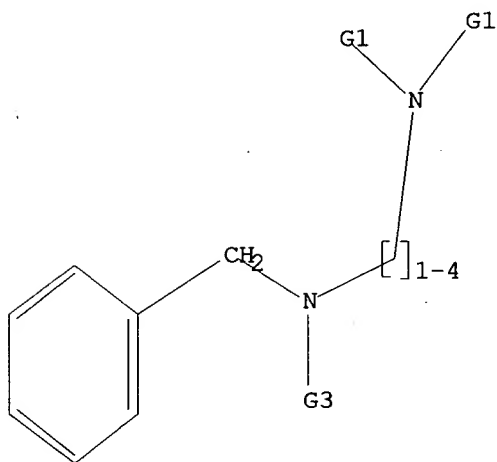
FILE 'USPATFULL' ENTERED AT 13:55:54 ON 01 FEB 2005

L11 25 S L9

=> d 16

L6 HAS NO ANSWERS

L6 STR



G1 n-Pr, i-Pr, n-Bu, i-Bu, s-Bu, t-Bu, Me, Et

G2 H, Me, Et, n-Pr, i-Pr, n-Bu, i-Bu, s-Bu, t-Bu

G3 H, Ph

Structure attributes must be viewed using STN Express query preparation.

=> d bib abs hitstr 1-25

L11 ANSWER 1 OF 25 USPATFULL on STN

AN 2004:321717 USPATFULL

TI Compounds, derivatives, compositions, preparation and uses

IN Xu, Weizheng, Ellicott, MD, UNITED STATES

Ferraris, Dana V., Eldersburg, MD, UNITED STATES

Li, Jia-He, Cockeysville, MD, UNITED STATES

Kalish, Vincent J., Annapolis, MD, UNITED STATES

PI US 2004254372 A1 20041216
AI US 2004-486239 A1 20040209 (10)
WO 2002-US24857 20020806
PRAI US 2001-310252P 20010807 (60)
DT Utility
FS APPLICATION
LREP NIXON & VANDERHYE, PC, 1100 N GLEBE ROAD, 8TH FLOOR, ARLINGTON, VA,
22201-4714
CLMN Number of Claims: 15
ECL Exemplary Claim: 1
DRWN 2 Drawing Page(s)
LN.CNT 3169
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention relates to compounds, pharmaceutical compositions, and
methods of using the disclosed compounds for inhibiting PARP.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 103-55-9

(preparation of diazobenzoanthracenes and related compds. as
poly(ADP-ribose)polymerase inhibitors)
RN 103-55-9 USPATFULL
CN 1,2-Ethanediamine, N,N-dimethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

Me₂N-CH₂-CH₂-NH-CH₂-Ph

L11 ANSWER 2 OF 25 USPATFULL on STN
AN 2004:233818 USPATFULL
TI Phenylenediamine urotensin-II receptor antagonists and CCR-9 antagonists
IN Wu, Chengde, Pearland, TX, UNITED STATES
Anderson, C. Eric, Houston, TX, UNITED STATES
Bui, Huong, Pearland, TX, UNITED STATES
Gao, Daxin, Houston, TX, UNITED STATES
Kassir, Jamal, Stafford, TX, UNITED STATES
Li, Wen, Pearland, TX, UNITED STATES
Wang, Junmei, Austin, TX, UNITED STATES
Market, Robert V., Pearland, TX, UNITED STATES
PA Encysive Pharmaceuticals Inc. (U.S. corporation)
PI US 2004180892 A1 20040916
AI US 2004-781442 A1 20040218 (10)
PRAI US 2003-448791P 20030220 (60)
DT Utility
FS APPLICATION
LREP WOOD, PHILLIPS, KATZ, CLARK & MORTIMER, 500 W. MADISON STREET, SUITE
3800, CHICAGO, IL, 60661
CLMN Number of Claims: 8
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 2073
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention relates to urotensin II receptor antagonists,
CCR-9 antagonists, pharmaceutical compositions containing them and their
use.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 103-55-9

(Preparation of phenylenediamine and thiophene carboxylic amide derivs. as
urotensin-II receptor antagonists and CCR-9 antagonists)
RN 103-55-9 USPATFULL
CN 1,2-Ethanediamine, N,N-dimethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

Me₂N-CH₂-CH₂-NH-CH₂-Ph

L11 ANSWER 3 OF 25 USPATFULL on STN
AN 2004:197382 USPATFULL
TI Aminopyridine derivatives as estrogen receptor modulators
IN Drewry, David Harold, Durham, NC, UNITED STATES
Henke, Brad Richard, Durham, NC, UNITED STATES
PI US 2004152688 A1 20040805
AI US 2004-473087 A1 20040323 (10)
WO 2002-US8624 20020320
DT Utility
FS APPLICATION
LREP DAVID J LEVY, CORPORATE INTELLECTUAL PROPERTY, GLAXOSMITHKLINE, FIVE
MOORE DR., PO BOX 13398, RESEARCH TRIANGLE PARK, NC, 27709-3398
CLMN Number of Claims: 35
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 1644

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Aminopyridine derivatives of the following formula I which exhibit
pharmacological activity at estrogen receptors alpha (ER α) and
beta (ER β) are described herein. The described invention also
includes compositions and medicaments containing the aminopyridine
derivatives as well as processes for the preparation and use of such
compounds, compositions and medicaments.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 103-55-9

(starting material; preparation of pyridinamines as estrogen receptor
modulators)

RN 103-55-9 USPATFULL

CN 1,2-Ethanediamine, N,N-dimethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

Me₂N-CH₂-CH₂-NH-CH₂-Ph

L11 ANSWER 4 OF 25 USPATFULL on STN
AN 2004:127582 USPATFULL
TI 2-Substituted thiazolidinone and oxazolidinone derivatives for the
inhibition of phosphatases and the treatment of cancer
IN Pfahl, Magnus, Solana Beach, CA, UNITED STATES
Al-Shamma, Hussien A., Encinitas, CA, UNITED STATES
Giachino, Andrea Fanjul, San Diego, CA, UNITED STATES
Pleyne, David P. M., San Diego, CA, UNITED STATES
Bao, Haifeng, San Diego, CA, UNITED STATES
Spruce, Lyle W., Chula Vista, CA, UNITED STATES
Cow, Christopher N., San Diego, CA, UNITED STATES
Tachdjian, Catherine, San Diego, CA, UNITED STATES
Zapf, James W., San Diego, CA, UNITED STATES
Wiemann, Torsten R., Encinitas, CA, UNITED STATES
PI US 2004097566 A1 20040520
AI US 2002-313341 A1 20021206 (10)
PRAI US 2001-337195P 20011206 (60)
DT Utility
FS APPLICATION
LREP NEEDLE & ROSENBERG, P.C., SUITE 1000, 999 PEACHTREE STREET, ATLANTA, GA,

30309-3915
CLMN Number of Claims: 62
ECL Exemplary Claim: 1
DRWN 22 Drawing Page(s)
LN.CNT 5019

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to certain substituted heterocycles, including 2-substituted thiazolidinone and 2-substituted oxazolidinone compounds. These compounds are useful in the treatment of diseases related to uncontrolled cellular proliferation, such as cancer or precancerous conditions. The compounds are also useful for modulating lipid and/or carbohydrate metabolism, and treating Type II diabetes, hyperglycemia or obesity, and for treating inflammatory diseases such as arthritis.

Some disclosed embodiments of the invention relate to compounds having the structures indicated below, or a pharmaceutically acceptable salt thereof. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 103-55-9, N'-Benzyl-N,N-dimethylethylenediamine
(preparation of thiazolidinone and oxazolidinone phosphatase inhibitors for treatment of cancer, diabetes, and inflammatory diseases)
RN 103-55-9 USPATFULL
CN 1,2-Ethanediamine, N,N-dimethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

Me₂N-CH₂-CH₂-NH-CH₂-Ph

L11 ANSWER 5 OF 25 USPATFULL on STN

AN 2004:108377 USPATFULL

TI Melanocortin-4 receptor binding compounds and methods of use thereof

IN Vos, Tricia J., Medford, MA, UNITED STATES

Solomon, Michael E., Nashua, NH, UNITED STATES

Claiborne, Christopher F., Cambridge, MA, UNITED STATES

Maguire, Martin P., Woburn, MA, UNITED STATES

Dai, Mingshi, Billerica, MA, UNITED STATES

Patane, Michael, Andover, MA, UNITED STATES

Marsilje, Thomas H., San Diego, CA, UNITED STATES

PA Millennium Pharmaceuticals, Inc. (U.S. corporation)

PI US 2004082779 A1 20040429

AI US 2003-462436 A1 20030616 (10)

RLI Continuation-in-part of Ser. No. US 2001-778468, filed on 7 Feb 2001,
PENDING Continuation-in-part of Ser. No. US 2000-632309, filed on 4 Aug
2000, ABANDONED

PRAI US 2000-223277P 20000803 (60)

US 1999-147288P 19990804 (60)

DT Utility

FS APPLICATION

LREP MILLENNIUM PHARMACEUTICALS, INC., 75 SIDNEY STREET, CAMBRIDGE, MA, 02139

CLMN Number of Claims: 26

ECL Exemplary Claim: 1

DRWN 2 Drawing Page(s)

LN.CNT 8757

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Provided are MC4-R binding compounds of the formula XVII: ##STR1##

wherein L.sub.2 is a linker group, and P.sup.1, P.sup.2, P.sup.3, P.sup.4, Z.sup.1, Z.sup.2, Z.sup.3, Z.sup.4, Z.sup.5, t, s, and R are as described in the specification. Methods of using the compounds to treat

MC4-R associated disorders, such as disorders associated with weight loss, are also provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 103-55-9P

(inactive as MC4-R binding compound; preparation and high throughput MC4-R receptor binding screening of arylalkylsulfanylphenyl-substituted imidazoles and pyrimidines and analogs)

RN 103-55-9 USPATFULL

CN 1,2-Ethanediamine, N,N-dimethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

Me₂N-CH₂-CH₂-NH-CH₂-Ph

L11 ANSWER 6 OF 25 USPATFULL on STN

AN 2004:83218 USPATFULL

TI Tetracycline compounds having target therapeutic activities

IN Levy, Stuart B., Boston, MA, UNITED STATES

Draper, Michael, Plaistow, NH, UNITED STATES

Nelson, Mark L., Wellesley, MA, UNITED STATES

Jones, Graham, Needham, MA, UNITED STATES

PI US 2004063674 A1 20040401

AI US 2002-196010 A1 20020715 (10)

PRAI US 2001-305546P 20010713 (60)

US 2002-395741P 20020712 (60)

DT Utility

FS APPLICATION

LREP LAHIVE & COCKFIELD, 28 STATE STREET, BOSTON, MA, 02109

CLMN Number of Claims: 119

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 4478

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Methods and compounds for treating diseases with tetracycline compounds having a target therapeutic activity are described.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 103-55-9

(tetracycline compds. with target therapeutic activities)

RN 103-55-9 USPATFULL

CN 1,2-Ethanediamine, N,N-dimethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

Me₂N-CH₂-CH₂-NH-CH₂-Ph

L11 ANSWER 7 OF 25 USPATFULL on STN

AN 2004:70705 USPATFULL

TI Ligands of melanocortin receptors and compositions and methods related thereto

IN Pontillo, Joseph, San Diego, CA, UNITED STATES

Marinkovic, Dragan, Del Mar, CA, UNITED STATES

Lanier, Marion C., San Diego, CA, UNITED STATES

Tran, Joe Anh, San Marcos, CA, UNITED STATES

Arellano, Melissa, San Diego, CA, UNITED STATES

Parker, Jessica, San Diego, CA, UNITED STATES

Nelson, Jodie, San Diego, CA, UNITED STATES

Chen, Chen, San Diego, CA, UNITED STATES

Tucci, Fabio C., San Diego, CA, UNITED STATES

Chen, Caroline, San Diego, CA, UNITED STATES
Jiang, Wanlong, San Diego, CA, UNITED STATES
White, Nicole, San Diego, CA, UNITED STATES
PA Neurocrine Biosciences, Inc., San Diego, CA (U.S. corporation)
PI US 2004053933 A1 20040318
AI US 2003-434803 A1 20030509 (10)
PRAI US 2002-379517P 20020510 (60)
US 2002-422272P 20021029 (60)
DT Utility
FS APPLICATION
LREP SEED INTELLECTUAL PROPERTY LAW GROUP PLLC, 701 FIFTH AVE, SUITE 6300,
SEATTLE, WA, 98104-7092
CLMN Number of Claims: 52
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 3457

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds which function as melanocortin receptor ligands and having utility in the treatment of melanocortin receptor-based disorders. The compounds have the following structure (I): ##STR1##

including stereoisomers, prodrugs, and pharmaceutically acceptable salts thereof, wherein Ar, R.sub.1, R.sub.2, R.sub.3a, R.sub.3b, R.sub.4a, R.sub.4b, R.sub.5, R.sub.7a, R.sub.7b, q, r, X, Y.sub.1, Y.sub.2, Y.sub.3 and Y.sub.4 are as defined herein. Pharmaceutical compositions containing a compound of structure (I), as well as methods relating to the use thereof, are also disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 103-55-9

(starting material; preparation of substituted piperazine derivs. as melanocortin receptor ligands)

RN 103-55-9 USPATFULL

CN 1,2-Ethanediamine, N,N-dimethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

Me₂N-CH₂-CH₂-NH-CH₂-Ph

L11 ANSWER 8 OF 25 USPATFULL on STN

AN 2004:45230 USPATFULL

TI Synthesis of purine derivatives

IN Hammarstrom, Lars G.J., Stockholm, SWEDEN

Krauss, Nancy Elisabeth, Mountain View, CA, UNITED STATES

Labadie, Sharada Shenvi, Sunnyvale, CA, UNITED STATES

Smith, David Bernard, San Mateo, CA, UNITED STATES

Talamas, Francisco Xavier, Mountain View, CA, UNITED STATES

PA Roche Palo Alto LLC (non-U.S. corporation)

PI US 2004034224 A1 20040219

AI US 2003-608657 A1 20030627 (10)

PRAI US 2002-392081P 20020627 (60)

DT Utility

FS APPLICATION

LREP ROCHE PALO ALTO LLC, PATENT LAW DEPT. M/S A2-250, 3431 HILLVIEW AVENUE,
PALO ALTO, CA, 94304

CLMN Number of Claims: 22

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 1130

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides a method for producing highly substituted

purine compounds from pyrimidine compounds. Furthermore, methods of the present invention allow preparation of a library of purine compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 103-55-9, N-Benzyl-N',N'-dimethylethylenediamine

(preparation of aminopurines from nitropyrimidines)

RN 103-55-9 USPATFULL

CN 1,2-Ethanediamine, N,N-dimethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

Me₂N-CH₂-CH₂-NH-CH₂-Ph

L11 ANSWER 9 OF 25 USPATFULL on STN

AN 2004:2516 USPATFULL

TI Process for preparing aminoguanidines and alkoxyguanidines as protease inhibitors

IN Tomczuk, Bruce E., Collegeville, PA, UNITED STATES

Soll, Richard M., Lawrenceville, NJ, UNITED STATES

Lu, Tianbao, Kennett Square, PA, UNITED STATES

Fedde, Cynthia L., Warrington, PA, UNITED STATES

Illig, Carl R., Phoenixville, PA, UNITED STATES

Markotan, Thomas P., Morgantown, PA, UNITED STATES

PA 3-Dimensional Pharmaceuticals, Inc. (U.S. corporation)

PI US 2004002539 A1 20040101

US 6730783 B2 20040504

AI US 2003-419972 A1 20030422 (10)

RLI Division of Ser. No. US 2000-722363, filed on 28 Nov 2000, PENDING

Division of Ser. No. US 1997-979234, filed on 26 Nov 1997, GRANTED, Pat. No. US 6235778

PRAI US 1996-31822P 19961126 (60)

DT Utility

FS APPLICATION

LREP STERNE, KESSLER, GOLDSTEIN & FOX PLLC, 1100 NEW YORK AVENUE, N.W., WASHINGTON, DC, 20005

CLMN Number of Claims: 82

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 7517

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Aminoguanidine and alkoxyguanidine compounds, including compounds of the formula: ##STR1##

wherein X is O or NR.^{sup.9} and R.^{sup.1}-R.^{sup.4}, R.^{sup.6}-R.^{sup.9}, R.^{sup.11}, R.^{sup.12}, R.^{sup.a}, R.^{sup.b}, R.^{sup.c}, Y, Z, n and m are set forth in the specification, as well as hydrates, solvates or pharmaceutically acceptable salts thereof, that inhibit proteolytic enzymes such as thrombin are described. Also described are methods for preparing the compounds of Formula I The novel compounds of the present invention are potent inhibitors of proteases, especially trypsin-like serine proteases, such as chymotrypsin, trypsin, thrombin, plasmin and factor Xa. Certain of the compounds exhibit antithrombotic activity via direct, selective inhibition of thrombin, or are intermediates useful for forming compounds having antithrombotic activity. The invention includes a composition for inhibiting loss of blood platelets, inhibiting formation of blood platelet aggregates, inhibiting formation of fibrin, inhibiting thrombus formation, and inhibiting embolus formation in a mammal, comprising a compound of the invention in a pharmaceutically acceptable carrier. Other uses of compounds of the invention are as anticoagulants either embedded in or physically linked to materials used in the manufacture of devices used in blood

collection, blood circulation, and blood storage, such as catheters, blood dialysis machines, blood collection syringes and tubes, blood lines and stents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 103-55-9, N'-Benzyl-N,N-dimethylethylenediamine
(aminoguanidine and alkoxyguanidine protease inhibitors, method for their synthesis and pharmaceutical use)
RN 103-55-9 USPATFULL
CN 1,2-Ethanediamine, N,N-dimethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

Me₂N-CH₂-CH₂-NH-CH₂-Ph

L11 ANSWER 10 OF 25 USPATFULL on STN
AN 2003:330603 USPATFULL
TI Antibacterial compounds
IN Anderson, David, Kenosha, WI, UNITED STATES
Beutel, Bruce, Lake Forest, IL, UNITED STATES
Bosse, Todd D., Chicago, IL, UNITED STATES
Clark, Richard, Gurnee, IL, UNITED STATES
Cooper, Curt, Vernon Hills, IL, UNITED STATES
Dandliker, Peter, Gurnee, IL, UNITED STATES
David, Caroline, Green Oaks, IL, UNITED STATES
Gu, Yu-Gui, Libertyville, IL, UNITED STATES
Hansen, Todd Matthew, Gurnee, IL, UNITED STATES
Hinman, Mira, Libertyville, IL, UNITED STATES
Kalvin, Douglas, Buffalo Grove, IL, UNITED STATES
Larson, Daniel P., Highland Park, IL, UNITED STATES
Lynch, Linda, Pleasant Prairie, WI, UNITED STATES
Ma, Zhenkun, Dallas, TX, UNITED STATES
Motter, Christopher, Oak Creek, WI, UNITED STATES
Palazzo, Fabio, Waukegan, IL, UNITED STATES
Rosenberg, Teresa, Gurnee, IL, UNITED STATES
Rehm, Tamara, Lindenhurst, IL, UNITED STATES
Sanders, William, Fox Lake, IL, UNITED STATES
Tufano, Michael, Chicago, IL, UNITED STATES
Wagner, Rolf, Gurnee, IL, UNITED STATES
Weitzberg, Moshe, Highland Park, IL, UNITED STATES
Yong, Hong, Grayslake, IL, UNITED STATES
Zhang, Tianyuan, Gurnee, IL, UNITED STATES
PI US 2003232818 A1 20031218
AI US 2003-387318 A1 20030312 (10)
PRAI US 2002-363594P 20020312 (60)
DT Utility
FS APPLICATION
LREP STEVEN F. WEINSTOCK, ABBOTT LABORATORIES, 100 ABBOTT PARK ROAD, DEPT.
377/AP6A, ABBOTT PARK, IL, 60064-6008
CLMN Number of Claims: 18
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 11002
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Antibacterials having formula (I) ##STR1##

and salts, prodrugs, and salts of prodrugs thereof, processes for making the compounds and intermediates used in the processes, compositions containing the compounds, and methods of prophylaxis and treatment of bacterial infections using the compounds are disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 103-55-9

(preparation of naphthyridines as antibacterial compds.)

RN 103-55-9 USPATFULL

CN 1,2-Ethanediamine, N,N-dimethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

Me₂N-CH₂-CH₂-NH-CH₂-Ph

L11 ANSWER 11 OF 25 USPATFULL on STN

AN 2003:285252 USPATFULL

TI Aminoguanidines and alkoxyguanidines as protease inhibitors

IN Tomczuk, Bruce E., Collegeville, PA, United States

Soll, Richard M., Lawrenceville, NJ, United States

Lu, Tianbao, Exton, PA, United States

Fedde, Cynthia L., Warrington, PA, United States

Illig, Carl R., Phoenixville, PA, United States

Markotan, Thomas P., Pottstown, PA, United States

Stagnaro, Thomas P., St. David, PA, United States

PA 3-Dimensional Pharmaceuticals, Inc., Exton, PA, United States (U.S. corporation)

PI US 6638931 B1 20031028

AI US 2000-722363 20001128 (9)

RLI Division of Ser. No. US 1997-979234, filed on 26 Nov 1997, now patented, Pat. No. US 6235778

PRAI US 1996-31822P 19961126 (60)

DT Utility

FS GRANTED

EXNAM Primary Examiner: O'Sullivan, Peter

LREP Sterne, Kessler, Goldstein & Fox P.L.L.C.

CLMN Number of Claims: 39

ECL Exemplary Claim: 1

DRWN 0 Drawing Figure(s); 0 Drawing Page(s)

LN.CNT 6786

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Aminoguanidine and alkoxyguanidine compounds, including compounds of the formula: ##STR1##

wherein X is O or NR.^{sup.9} and R.^{sup.1}-R.^{sup.4}, R.^{sup.6}-R.^{sup.9}, R.^{sup.11}, R.^{sup.12}, R.^{sup.a}, R.^{sup.b}, R.^{sup.c}, Y, Z, n and m are set forth in the specification, as well as hydrates, solvates or pharmaceutically acceptable salts thereof, that inhibit proteolytic enzymes such as thrombin are described. Also described are methods for preparing the compounds of Formula I. The novel compounds of the present invention are potent inhibitors of proteases, especially trypsin-like serine proteases, such as chymotrypsin, trypsin, thrombin, plasmin and factor Xa. Certain of the compounds exhibit antithrombotic activity via direct, selective inhibition of thrombin, or are intermediates useful for forming compounds having antithrombotic activity. The invention includes a composition for inhibiting loss of blood platelets, inhibiting formation of blood platelet aggregates, inhibiting formation of fibrin, inhibiting thrombus formation, and inhibiting embolus formation in a mammal, comprising a compound of the invention in a pharmaceutically acceptable carrier. Other uses of compounds of the invention are as anticoagulants either embedded in or physically linked to materials used in the manufacture of devices used in blood collection, blood circulation, and blood storage, such as catheters, blood dialysis machines, blood collection syringes and tubes, blood lines and stents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 103-55-9, N'-Benzyl-N,N-dimethylethylenediamine
(aminoguanidine and alkoxyguanidine protease inhibitors, method for
their synthesis and pharmaceutical use)
RN 103-55-9 USPATFULL
CN 1,2-Ethanediamine, N,N-dimethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

Me₂N-CH₂-CH₂-NH-CH₂-Ph

L11 ANSWER 12 OF 25 USPATFULL on STN
AN 2003:238427 USPATFULL
TI Substituted tetracycline compounds as synergistic antifungal agents
IN Draper, Michael, Plaistow, NH, UNITED STATES
Nelson, Mark L., Wellesley, MA, UNITED STATES
PI US 2003166585 A1 20030904
AI US 2002-97634 A1 20020314 (10)
PRAI US 2001-275899P 20010314 (60)
DT Utility
FS APPLICATION
LREP LAHIVE & COCKFIELD, 28 STATE STREET, BOSTON, MA, 02109
CLMN Number of Claims: 76
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 3988
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Methods and compositions for treating for the synergistic treatment of
fungal associated disorders are discussed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 103-55-9, N'-Benzyl-N,N-dimethylethylenediamine
(substituted tetracycline compds. as synergistic antifungal agents in
relation to cytotoxicity)
RN 103-55-9 USPATFULL
CN 1,2-Ethanediamine, N,N-dimethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

Me₂N-CH₂-CH₂-NH-CH₂-Ph

L11 ANSWER 13 OF 25 USPATFULL on STN
AN 2003:228326 USPATFULL
TI Non-peptidyl vasopressin V1A antagonists
IN Bruns, Jr., Robert F, Carmel, IN, United States
Cooper, Robin D G, Indianapolis, IN, United States
Dressman, Bruce A, Indianapolis, IN, United States
Hunden, David C, Carmel, IN, United States
Kaldor, Stephen W, Indianapolis, IN, United States
Koppel, Gary A, Indianapolis, IN, United States
Rizzo, John R, Indianapolis, IN, United States
Skelton, Jeffrey J, Indianapolis, IN, United States
Steinberg, Mitchell I, Indianapolis, IN, United States
PA Eli Lilly and Company, Indianapolis, IN, United States (U.S.
corporation)
PI US 6610680 B1 20030826
AI US 2002-327240 20021220 (10)
RLI Division of Ser. No. US 2000-733430, filed on 8 Dec 2000, now patented,
Pat. No. US 6521611 Division of Ser. No. US 125737, now patented, Pat.
No. US 6204260, issued on 30 Mar 2001

PRAI GB 1996-5044 19960309
 GB 1996-5045 19960309
 GB 1996-5046 19960309
 US 1996-12149P 19960223 (60)
 US 1996-12188P 19960223 (60)
 US 1996-12215P 19960223 (60)
 DT Utility
 FS GRANTED
 EXNAM Primary Examiner: McKane, Joseph K.; Assistant Examiner: Small, Andrea D.
 LREP Titus, Robert D., Tucker, Tina M.
 CLMN Number of Claims: 7
 ECL Exemplary Claim: 1
 DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
 LN.CNT 3364
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.
 AB This invention provides substituted 2-(azetidinon-1-yl) acetic acid derivatives of Formula II ##STR1##

for the antagonism of the vasopressin V.sub.1a receptor.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 103-55-9 92377-05-4
 (preparation of non-peptidyl vasopressin V1a receptor antagonists)
 RN 103-55-9 USPATFULL
 CN 1,2-Ethanediamine, N,N-dimethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

Me₂N-CH₂-CH₂-NH-CH₂-Ph

RN 92377-05-4 USPATFULL
 CN 1,3-Propanediamine, N,N-diethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

Et₂N-(CH₂)₃-NH-CH₂-Ph

L11 ANSWER 14 OF 25 USPATFULL on STN
 AN 2003:226439 USPATFULL
 TI Aminoguanidines and alkoxyguanidines as protease inhibitors
 IN Tomczuk, Bruce E., Collegeville, PA, UNITED STATES
 Soll, Richard M., Lawrenceville, NJ, UNITED STATES
 Lu, Tianbao, Kennet Square, PA, UNITED STATES
 Fedde, Cynthia L., Warrington, PA, UNITED STATES
 Illig, Carl R., Phoenixville, PA, UNITED STATES
 Markotan, Thomas P., Morgantown, PA, UNITED STATES
 Stagnaro, Thomas P., Riva, MD, UNITED STATES
 PA 3-Dimensional Pharmaceuticals, Inc. (U.S. corporation)
 PI US 2003158252 A1 20030821
 US 6706765 B2 20040316
 AI US 2003-359078 A1 20030206 (10)
 RLI Division of Ser. No. US 1997-979234, filed on 26 Nov 1997, GRANTED, Pat. No. US 6235778
 PRAI US 1996-31822P 19961126 (60)
 DT Utility
 FS APPLICATION
 LREP STERNE, KESSLER, GOLDSTEIN & FOX PLLC, 1100 NEW YORK AVENUE, N.W., WASHINGTON, DC, 20005
 CLMN Number of Claims: 43
 ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 6913

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Aminoguanidine and alkoxyguanidine compounds, including compounds of the formula: ##STR1##

wherein X is O or NR⁹ and R¹-R⁴, R⁶-R⁹, R¹¹, R¹², R^a, R^b, R^c, Y, Z, n and m are set forth in the specification, as well as hydrates, solvates or pharmaceutically acceptable salts thereof, that inhibit proteolytic enzymes such as thrombin are described. Also described are methods for preparing the compounds of Formula I. The novel compounds of the present invention are potent inhibitors of proteases, especially trypsin-like serine proteases, such as chymotrypsin, trypsin, thrombin, plasmin and factor Xa. Certain of the compounds exhibit antithrombotic activity via direct, selective inhibition of thrombin, or are intermediates useful for forming compounds having antithrombotic activity. The invention includes a composition for inhibiting loss of blood platelets, inhibiting formation of blood platelet aggregates, inhibiting formation of fibrin, inhibiting thrombus formation, and inhibiting embolus formation in a mammal, comprising a compound of the invention in a pharmaceutically acceptable carrier. Other uses of compounds of the invention are as anticoagulants either embedded in or physically linked to materials used in the manufacture of devices used in blood collection, blood circulation, and blood storage, such as catheters, blood dialysis machines, blood collection syringes and tubes, blood lines and stents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 103-55-9, N'-Benzyl-N,N-dimethylethylenediamine
(aminoguanidine and alkoxyguanidine protease inhibitors, method for their synthesis and pharmaceutical use)

RN 103-55-9 USPATFULL

CN 1,2-Ethanediamine, N,N-dimethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

Me₂N-CH₂-CH₂-NH-CH₂-Ph

L11 ANSWER 15 OF 25 USPATFULL on STN

AN 2003:89374 USPATFULL

TI Streptogramin derivatives, their preparation and compositions containing them

IN Bacque, Eric, Morsang sur Orge, FRANCE
Barriere, Jean-Claude, Bures sur Yvette, FRANCE
Doerflinger, Gilles, Les Ulis, FRANCE
Dutruc-Rosset, Gilles, Paris, FRANCE
Pantel, Guy, La Queue en Brie, FRANCE

PA Aventis Pharma S.A., Antony, FRANCE (non-U.S. corporation)

PI US 6541451 B1 20030401

AI US 2000-627791 20000727 (9)

PRAI FR 1999-9708 19990727
US 1999-152270P 19990903 (60)

DT Utility

FS GRANTED

EXNAM Primary Examiner: Russel, Jeffrey E.

LREP Finnegan, Henderson, Farabow, Garrett, & Dunner LLP

CLMN Number of Claims: 26

ECL Exemplary Claim: 1

DRWN 0 Drawing Figure(s); 0 Drawing Page(s)

LN.CNT 4675

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB B-Group streptogramin compounds of formula (I): ##STR1##

are useful as antimicrobial agents, optionally combined with at least one A-group streptogramin compound.

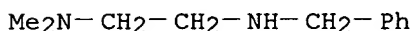
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 103-55-9, n'-Benzyl-n,n-dimethylethylenediamine

(preparation of streptogramin derivs. and compns. containing them)

RN 103-55-9 USPATFULL

CN 1,2-Ethanediamine, N,N-dimethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)



L11 ANSWER 16 OF 25 USPATFULL on STN

AN 2002:102031 USPATFULL

TI Compositions and methods for improved delivery of ionizable hydrophobic therapeutic agents

IN Chen, Feng-Jing, Salt Lake City, UT, United States

Patel, Mahesh V., Salt Lake City, UT, United States

PA Lipocine, Inc., Salt Lake City, UT, United States (U.S. corporation)

PI US 6383471 B1 20020507

AI US 1999-287043 19990406 (9)

DT Utility

FS GRANTED

EXNAM Primary Examiner: Bawa, Raj

LREP Reed, Dianne E., Reed & Associates

CLMN Number of Claims: 114

ECL Exemplary Claim: 1

DRWN 0 Drawing Figure(s); 0 Drawing Page(s)

LN.CNT 3051

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention is directed to a pharmaceutical composition including a hydrophobic therapeutic agent having at least one ionizable functional group, and a carrier. The carrier includes an ionizing agent capable of ionizing the functional group, a surfactant, and optionally solubilizers, triglycerides, and neutralizing agents. The invention further relates to a method of preparing such compositions by providing a composition of an ionizable hydrophobic therapeutic agent, an ionizing agent, and a surfactant, and neutralizing a portion of the ionizing agent with a neutralizing agent. The compositions of the invention are particularly suitable for use in oral dosage forms.

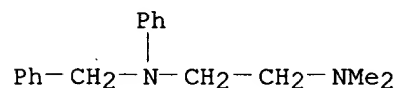
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 961-71-7, Phenbenzamine

(pharmaceutical compns. containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

RN 961-71-7 USPATFULL

CN 1,2-Ethanediamine, N,N-dimethyl-N'-phenyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)



L11 ANSWER 17 OF 25 USPATFULL on STN

AN 2002:92663 USPATFULL
 TI Non-peptidyl vasopressin V1a antagonists
 IN Bruns, Robert F., JR., Carmel, IN, UNITED STATES
 Cooper, Robin DG, Indianapolis, IN, UNITED STATES
 Dressman, Bruce A., Indianapolis, IN, UNITED STATES
 Hunden, David C., Carmel, IN, UNITED STATES
 Kaldor, Stephen W., Indianapolis, IN, UNITED STATES
 Koppel, Gary A., Indianapolis, IN, UNITED STATES
 Rizzo, John R., Indianapolis, IN, UNITED STATES
 Skelton, Jeffrey J., Indianapolis, IN, UNITED STATES
 Steinberg, Mitchell I., Indianapolis, IN, UNITED STATES
 PI US 2002049187 A1 20020425
 US 6521611 B2 20030218
 AI US 2000-733430 A1 20001208 (9)
 RLI Division of Ser. No. US 1999-125737, filed on 19 Aug 1999, GRANTED, Pat.
 No. US 6204260 A 371 of International Ser. No. WO 1997-US3039, filed on
 20 Feb 1997, UNKNOWN
 PRAI GB 1996-5044 19960309
 GB 1996-5045 19960309
 GB 1996-5046 19960309
 US 1996-12149P 19960223 (60)
 US 1996-12188P 19960223 (60)
 US 1996-12215P 19960223 (60)
 DT Utility
 FS APPLICATION
 LREP ROBERT D. TITUS, Eli Lilly and Company, Lilly Corporate Center, Patent
 Division DC: 1104, Indianapolis, IN, 46285
 CLMN Number of Claims: 10
 ECL Exemplary Claim: 1
 DRWN No Drawings
 LN.CNT 3603
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.
 AB This invention provides methods and 2-(azetidin-2-on-1-yl) acetic acid
 derivatives of Formula I ##STR1##

for the antagonism of the vasopressin V.sub.1a receptor.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 103-55-9 92377-05-4

(preparation of non-peptidyl vasopressin V1a receptor antagonists)

RN 103-55-9 USPATFULL

CN 1,2-Ethanediamine, N,N-dimethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

Me₂N-CH₂-CH₂-NH-CH₂-Ph

RN 92377-05-4 USPATFULL

CN 1,3-Propanediamine, N,N-diethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

Et₂N-(CH₂)₃-NH-CH₂-Ph

L11 ANSWER 18 OF 25 USPATFULL on STN

AN 2001:205953 USPATFULL

TI Tertiary amino compounds having opioid receptor affinity

IN Kyle, Donald, Newtown, PA, United States

Goehring, R. Richard, Pipersville, PA, United States

Victory, Sam, Newtown, PA, United States

PI US 2001041746 A1 20011115

AI US 2000-730814 A1 20001206 (9)
PRAI US 1999-169396P 19991206 (60)
DT Utility
FS APPLICATION
LREP DAVIDSON, DAVIDSON & KAPPEL, LLC, 1140 Avenue of the Americas, 15th
Floor, New York, NY, 10036
CLMN Number of Claims: 10
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 452

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed are compounds of the formula (I) ##STR1##

wherein R.sub.1, R.sub.2 R.sub.3 R.sub.4 R.sub.5, R.sub.6 and N are as
disclosed herein. The compounds are useful for the treatment of chronic
and acute pain.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 343593-67-9P

(preparation of tertiary amino compds. having opioid receptor affinity)

RN 343593-67-9 USPATFULL

CN 1,3-Propanediamine, N,N-dibutyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

$(n\text{-Bu})_2\text{N}-(\text{CH}_2)_3-\text{NH}-\text{CH}_2-\text{Ph}$

IT 103-55-9

(preparation of tertiary amino compds. having opioid receptor affinity)

RN 103-55-9 USPATFULL

CN 1,2-Ethanediamine, N,N-dimethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

$\text{Me}_2\text{N}-\text{CH}_2-\text{CH}_2-\text{NH}-\text{CH}_2-\text{Ph}$

L11 ANSWER 19 OF 25 USPATFULL on STN

AN 2001:194524 USPATFULL

TI Aminoguanidines and alkoxyguanidines as protease inhibitors

IN Tomczuk, Bruce E., Collegeville, PA, United States

Soll, Richard M., Lawrenceville, NJ, United States

Lu, Tianbao, Kennet Square, PA, United States

Fedde, Cynthia L., Warrington, PA, United States

Illig, Carl R., Phoenixville, PA, United States

Markotan, Thomas P., Morgantown, PA, United States

Stagnaro, Thomas P., Riva, MD, United States

PA 3-Dimensional Pharmaceuticals, Inc. (U.S. corporation)

PI US 2001037039 A1 20011101

US 6518310 B2 20030211

AI US 2001-809293 A1 20010316 (9)

RLI Division of Ser. No. US 1997-979234, filed on 26 Nov 1997, GRANTED, Pat.
No. US 6235778

PRAI US 1996-31822P 19961126 (60)

DT Utility

FS APPLICATION

LREP STERNE, KESSLER, GOLDSTEIN & FOX PLLC, 1100 NEW YORK AVENUE, N.W., SUITE
600, WASHINGTON, DC, 20005-3934

CLMN Number of Claims: 43

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 6888

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Aminoguanidine and alkoxyguanidine compounds, including compounds of the formula: ##STR1##

wherein X is O or NR.⁹ and R.¹--R.⁴, R.⁶--R.⁹, R.¹¹, R.¹², R.^a, R.^b, R.^c, Y, Z, n and m are set forth in the specification, as well as hydrates, solvates or pharmaceutically acceptable salts thereof, that inhibit proteolytic enzymes such as thrombin are described. Also described are methods for preparing the compounds of Formula . The novel compounds of the present invention are potent inhibitors of proteases, especially trypsin-like serine proteases, such as chymotrypsin, trypsin, thrombin, plasmin and factor Xa. Certain of the compounds exhibit antithrombotic activity via direct, selective inhibition of thrombin, or are intermediates useful for forming compounds having antithrombotic activity. The invention includes a composition for inhibiting loss of blood platelets, inhibiting formation of blood platelet aggregates, inhibiting formation of fibrin, inhibiting thrombus formation, and inhibiting embolus formation in a mammal, comprising a compound of the invention in a pharmaceutically acceptable carrier. Other uses of compounds of the invention are as anticoagulants either embedded in or physically linked to materials used in the manufacture of devices used in blood collection, blood circulation, and blood storage, such as catheters, blood dialysis machines, blood collection syringes and tubes, blood lines and stents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 103-55-9, N'-Benzyl-N,N-dimethylethylenediamine
(aminoguanidine and alkoxyguanidine protease inhibitors, method for their synthesis and pharmaceutical use)
RN 103-55-9 USPATFULL
CN 1,2-Ethanediamine, N,N-dimethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

Me₂N-CH₂-CH₂-NH-CH₂-Ph

L11 ANSWER 20 OF 25 USPATFULL on STN
AN 2001:75430 USPATFULL
TI Aminoguanidines and alkoxyguanidines as protease inhibitors
IN Tomczuk, Bruce E., Collegeville, PA, United States
Soll, Richard M., Lawrenceville, NJ, United States
Lu, Tianbao, Exton, PA, United States
Fedde, Cynthia L., Warrington, PA, United States
Illig, Carl R., Phoenixville, PA, United States
Markotan, Thomas P., Pottstown, PA, United States
Stagnaro, Thomas P., St. David, PA, United States
PA 3-Dimensional Pharmaceuticals, Inc., Exton, PA, United States (U.S. corporation)
PI US 6235778 B1 20010522
AI US 1997-979234 19971126 (8)
PRAI US 1996-31822P 19961126 (60)
DT Utility
FS Granted
EXNAM Primary Examiner: O'Sullivan, Peter
LREP Sterne, Kessler, Goldstein & Fox P.L.L.C.
CLMN Number of Claims: 42
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 6728

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Aminoguanidine and alkoxyguanidine compounds, including compounds of the formula: ##STR1##

wherein X is O or NR.⁹ and R.¹ 14 R.⁴, R.⁶ -R.⁹, R.¹¹, R.¹², R.^a, R.^b, R.^c, Y, Z, n and m are set forth in the specification, as well as hydrates, solvates or pharmaceutically acceptable salts thereof, that inhibit proteolytic enzymes such as thrombin are described. Also described are methods for preparing the compounds of Formula I. The novel compounds of the present invention are potent inhibitors of proteases, especially trypsin-like serine proteases, such as chymotrypsin, trypsin, thrombin, plasmin and factor Xa. Certain of the compounds exhibit antithrombotic activity via direct, selective inhibition of thrombin, or are intermediates useful for forming compounds having antithrombotic activity. The invention includes a composition for inhibiting loss of blood platelets, inhibiting formation of blood platelet aggregates, inhibiting formation of fibrin, inhibiting thrombus formation, and inhibiting embolus formation in a mammal, comprising a compound of the invention in a pharmaceutically acceptable carrier. Other uses of compounds of the invention are as anticoagulants either embedded in or physically linked to materials used in the manufacture of devices used in blood collection, blood circulation, and blood storage, such as catheters, blood dialysis machines, blood collection syringes and tubes, blood lines and stents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 103-55-9, N'-Benzyl-N,N-dimethylethylenediamine
(aminoguanidine and alkoxyguanidine protease inhibitors, method for their synthesis and pharmaceutical use)

RN 103-55-9 USPATFULL

CN 1,2-Ethanediamine, N,N-dimethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

Me₂N-CH₂-CH₂-NH-CH₂-Ph

L11 ANSWER 21 OF 25 USPATFULL on STN

AN 2001:40474 USPATFULL

TI Non-peptidyl vasopressin V1a antagonists

IN Bruns, Jr., Robert F, Carmel, IN, United States

Cooper, Robin DG, Indianapolis, IN, United States

Dressman, Bruce A, Indianapolis, IN, United States

Hunden, David C, Carmel, IN, United States

Kaldor, Stephen W, Indianapolis, IN, United States

Koppel, Gary A, Indianapolis, IN, United States

Rizzo, John R, Indianapolis, IN, United States

Skelton, Jeffrey J, Indianapolis, IN, United States

Steinberg, Mitchell I, Indianapolis, IN, United States

PA Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)

PI US 6204260 B1 20010320

WO 9730707 19970828

AI US 1999-125737 19990819 (9)

WO 1997-US3039 19970220

19990819 PCT 371 date

19990819 PCT 102(e) date

PRAI GB 1996-5044 19960309

GB 1996-5045 19960309

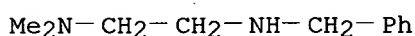
GB 1996-5046 19960309

US 1996-12149P 19960223 (60)

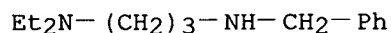
US 1996-12188P 19960223 (60)

US 1996-12215P 19960223 (60)
DT Utility
FS Granted
EXNAM Primary Examiner: Lambkin, Deborah C.
LREP Titus, Robert D.
CLMN Number of Claims: 12
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 3548
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention provides methods and 2-(azetidin-2-on-1-yl)acetic acid derivatives for the antagonism of the vasopressin V.sub.1a receptor.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 103-55-9 92377-05-4
(preparation of non-peptidyl vasopressin V1a receptor antagonists)
RN 103-55-9 USPATFULL
CN 1,2-Ethanediamine, N,N-dimethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 92377-05-4 USPATFULL
CN 1,3-Propanediamine, N,N-diethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)



L11 ANSWER 22 OF 25 USPATFULL on STN
AN 1999:7385 USPATFULL
TI Benzoperimidine-carboxylic acids and derivatives thereof
IN Rabinovich, Aleksandr K., La Jolla, CA, United States
Dhanao, Dale S., Del Mar, CA, United States
Luthin, David R., San Diego, CA, United States
Bychowski, Richard A., Cardiff, CA, United States
Bhumralkar, Dilip R., San Diego, CA, United States
PA Alanex Corporation, San Diego, CA, United States (U.S. corporation)
PI US 5861398 19990119
AI US 1996-703025 19960826 (8)
DT Utility
FS Granted
EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Rao, Deepak R.
CLMN Number of Claims: 23
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 989
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Benzo(e)perimidine-4-carboxamide derivatives of general structural formula I ##STR1## (where R.sub.a, R.sup.1, R.sup.2, R.sup.3, R.sup.4, R.sup.5, and R.sup.6 are as defined in the specification) have activity for receptors of corticotropin releasing factor (CRF). The compounds are useful in treating stress-related diseases, cardiovascular, neurological and psychiatric disorders including anxiety, depression, eating disorders, anorexia nervosa, supranuclear palsy, irritable bowel syndrome, gastrointestinal diseases, immune suppression, inflammatory disorders, drug and alcohol withdrawal symptoms, drug addiction, Alzheimer's disease or fertility disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 103-55-9, N'-Benzyl-N,N-dimethylethylenediamine
(benzoperimidine-carboxylic acids and derivs. as antagonists of
corticotropin releasing factor receptors)
RN 103-55-9 USPATFULL
CN 1,2-Ethanediamine, N,N-dimethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

Me₂N-CH₂-CH₂-NH-CH₂-Ph

L11 ANSWER 23 OF 25 USPATFULL on STN
AN 94:44306 USPATFULL
TI Consumer polyolefin primer
IN McDonnell, Patrick F., Dublin, Ireland
Wren, Gerard M., County Kildare, Ireland
Welch, II, Edward K., Bristol, CT, United States
PA Loctite Corporation, Hartford, CT, United States (U.S. corporation)
PI US 5314562 19940524
AI US 1993-13143 19930201 (8)
RLI Continuation of Ser. No. US 1991-812771, filed on 23 Dec 1991, now
abandoned which is a continuation-in-part of Ser. No. US 1990-620227,
filed on 29 Nov 1990, now abandoned
DT Utility
FS Granted
EXNAM Primary Examiner: Gallagher, John J.
LREP Vidas, Arret & Steinkraus
CLMN Number of Claims: 20
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 852
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A method of bonding a plastic substrate with an alpha-cyanoacrylate
adhesive in which a primer comprising an ethylenediamine is used. The
method is particularly suitable for use in bonding polyolefins in the
consumer market.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 103-55-9, N'-Benzyl-N,N-dimethylethylenediamine
(primer, for polyolefins in bonding with cyanoacrylate adhesive)
RN 103-55-9 USPATFULL
CN 1,2-Ethanediamine, N,N-dimethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

Me₂N-CH₂-CH₂-NH-CH₂-Ph

L11 ANSWER 24 OF 25 USPATFULL on STN
AN 80:22252 USPATFULL
TI Curable epoxide resin mixtures
IN Zondler, Helmut, Bottmingen, Switzerland
Lehmann, Hans, Aesch, Switzerland
PA Ciba-Geigy Corporation, Ardsley, NY, United States (U.S. corporation)
PI US 4201854 19800506
AI US 1978-946488 19780928 (5)
PRAI CH 1977-12792 19771020
DT Utility
FS Granted
EXNAM Primary Examiner: Nielsen, Earl A.
LREP DiPrima, Joseph F.
CLMN Number of Claims: 14

ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 735

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Curable mixtures of polyepoxide compounds which contain an amine curing agent have longer curing times, and thus, in particular, good workability when used as adhesives, when N,N-dimethylethylenediamine derivatives or N,N-dimethyl-1,3-propylenediamine derivatives are used as the curing agents. The mechanical properties are also frequently improved.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **32857-22-0P**

(manufacture of, as crosslinking agents for epoxy resins)

RN 32857-22-0 USPATFULL

CN 1,3-Propanediamine, N,N-dimethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

$\text{Me}_2\text{N}-(\text{CH}_2)_3-\text{NH}-\text{CH}_2-\text{Ph}$

L11 ANSWER 25 OF 25 USPATFULL on STN

AN 74:49078 USPATFULL

TI 9-DIALKYLAMINO ALKYL-N-SUBSTITUTED FLUORENE-9-CARBOXAMIDES

IN Lowrie, Harman S., Glenview, IL, United States

PA G. D. Searle & Co., Chicago, IL, United States (U.S. corporation)

PI US 3843657 19741022

AI US 1972-313357 19721208 (5)

DT Utility

FS Granted

EXNAM Primary Examiner: Moatz, Harry I.

LREP Brown, John M.

CLMN Number of Claims: 4

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 192

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention is concerned with 9-dialkylaminoalkyl-N-substituted-fluorene-9-carboxamides. These compounds are prepared by contacting fluorene-9-carboxylic acid chloride with an appropriate diamine to form the corresponding amide, then reacting that with an appropriate dialkylaminoalkylhalide in the presence of base to form the 9-dialkylaminoalkyl-N-substituted-fluorene-9-carboxamides. The compounds of the present invention are useful as anti-bacterial and anti-fungal agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **103-55-9**

(amidation of fluorenenecarbonyl chloride by)

RN 103-55-9 USPATFULL

CN 1,2-Ethanediamine, N,N-dimethyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

$\text{Me}_2\text{N}-\text{CH}_2-\text{CH}_2-\text{NH}-\text{CH}_2-\text{Ph}$

=>